Scientific & Technical Information Center
USPTO

STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 194228

TO: Shirley Gembeh
Location: REM/3C19/3C70
Art Unit: 1614
Thursday, June 29, 2006
Case Serial Number: 10/678771

From: Barb O'Bryen
Location: Biotech-Chem Library
Remsen 1a69
Phone: 571-272-2518

barbara.obryen@uspto.gov

Search Notes

RUSH

703
453 5800

837

sira
Search and Information Resources Administration

STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact***:

Mary Hale, Information Branch Supervisor
571-272-2507 Remsen E01 D86

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art* ***found****, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

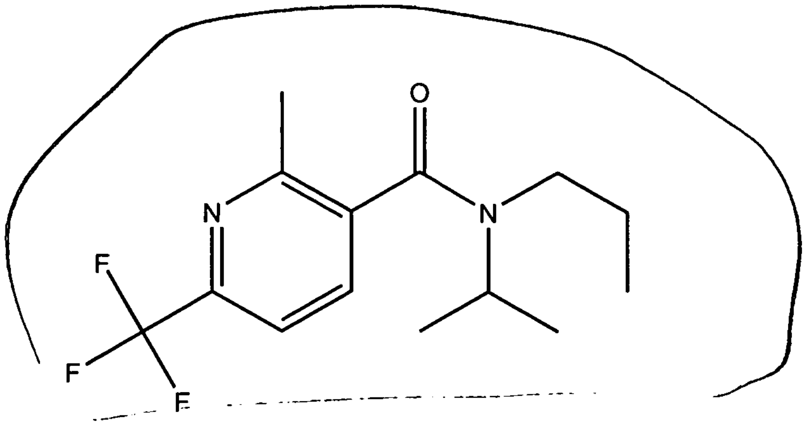
- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art* ***not found:***
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC/Biotech-Chem Library - Remsen Bldg.

This Page Blank (uspto)

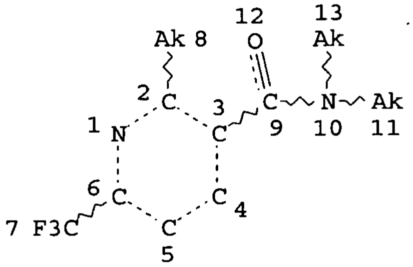


n-isopropyl-2-methyl-n-propyl-6-(trifluoromethyl)nicotinamide

$C_{14}H_{19}F_3N_2O$
Exact Mass: 288.14
Mol. Wt.: 288.31
m/e: 288.14 (100.0%), 289.15 (15.4%), 290.15 (1.4%)
C, 58.32; H, 6.64; F, 19.77; N, 9.72; O, 5.55

This Page Blank (uspto)

```
=> fil reg; d stat que l7; fil capl uspatf toxcenter chemcats; s l7
FILE 'REGISTRY' ENTERED AT 12:46:47 ON 29 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    28 JUN 2006  HIGHEST RN 889935-59-5
DICTIONARY FILE UPDATES:   28 JUN 2006  HIGHEST RN 889935-59-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*********************************************************************
*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                   *
*********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html


L5                STR
```

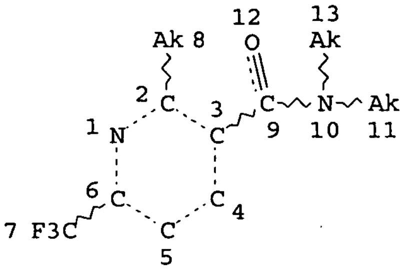


Ak = unsubstituted alkyl with ≤ 6 carbons

```
NODE ATTRIBUTES:
CONNECT IS E1   RC AT    8
CONNECT IS E1   RC AT   11
CONNECT IS E1   RC AT   13
DEFAULT MLEVEL IS ATOM
MLEVEL  IS CLASS   AT    8 11 13
GGCAT   IS LOC   AT    8
GGCAT   IS LOC   AT   11
GGCAT   IS LOC  AT  13
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  13

STEREO ATTRIBUTES: NONE
L7             22 SEA FILE=REGISTRY SSS FUL L5

100.0% PROCESSED    2224 ITERATIONS                           22 ANSWERS
SEARCH TIME: 00.00.01



FILE 'CAPLUS' ENTERED AT 12:46:47 ON 29 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 12:46:47 ON 29 JUN 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'TOXCENTER' ENTERED AT 12:46:47 ON 29 JUN 2006
COPYRIGHT (C) 2006 ACS

FILE 'CHEMCATS' ENTERED AT 12:46:47 ON 29 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)


L17             8 L7

=> fil marpat; d stat que l16
FILE 'MARPAT' ENTERED AT 12:47:07 ON 29 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

FILE CONTENT: 1961-PRESENT VOL 144 ISS 26 (20060623/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US   2006094872 04 MAY 2006
DE 102004050353 20 APR 2006
EP      1645616 12 APR 2006
JP   2006100393 13 APR 2006
WO   2006051143 18 MAY 2006
GB      2416167 18 JAN 2006
FR      2876377 14 APR 2006
RU      2273632 10 APR 2006
CA      2518664 10 MAR 2006

Expanded G-group definition display now available.
```

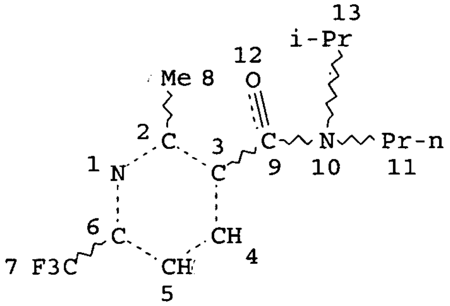
New CAS Information Use Policies, enter HELP USAGETERMS for details.

```
L5                STR
```



same full file search as before

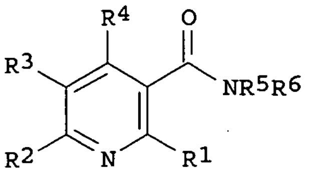
```
NODE ATTRIBUTES:
CONNECT IS E1   RC AT    8
CONNECT IS E1   RC AT   11
CONNECT IS E1   RC AT   13
DEFAULT MLEVEL IS ATOM
MLEVEL   IS CLASS   AT    8  11  13
GGCAT    IS LOC    AT    8
GGCAT    IS LOC    AT   11
GGCAT    IS LOC    AT   13
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  13

STEREO ATTRIBUTES: NONE
L11          248 SEA FILE=MARPAT SSS FUL L5
L14               STR
```



subset search done on this structure

```
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL   IS CLASS   AT    8  11  13
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  13

STEREO ATTRIBUTES: NONE
L16           24 SEA FILE=MARPAT SUB=L11 SSS FUL L14
```

```
100.0% PROCESSED     248 ITERATIONS                             24 ANSWERS
SEARCH TIME: 00.00.03



=> dup rem l17,l16
DUPLICATE IS NOT AVAILABLE IN 'CHEMCATS'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
FILE 'CAPLUS' ENTERED AT 12:47:11 ON 29 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 12:47:11 ON 29 JUN 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'TOXCENTER' ENTERED AT 12:47:11 ON 29 JUN 2006
COPYRIGHT (C) 2006 ACS

FILE 'CHEMCATS' ENTERED AT 12:47:11 ON 29 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

FILE 'MARPAT' ENTERED AT 12:47:11 ON 29 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)
PROCESSING COMPLETED FOR L17
PROCESSING COMPLETED FOR L16
L18          27 DUP REM L17 L16 (5 DUPLICATES REMOVED)
                ANSWERS '1-2' FROM FILE CAPLUS
                ANSWERS '3-4' FROM FILE CHEMCATS
                ANSWERS '5-27' FROM FILE MARPAT

=> d ibib ed abs hitstr 1-2; d iall 3-4; d ibib abs qhit 5-27; fil hom

L18  ANSWER 1 OF 27  CAPLUS  COPYRIGHT 2006 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         2004:493569  CAPLUS
DOCUMENT NUMBER:          141:54199
TITLE:                    Preparation of nicotinamide derivatives and method of
                          inhibiting angiogenesis
INVENTOR(S):              Haviv, Fortuna; Bradley, Michael F.; Dinges, Jurgen;
                          Sauer, Daryl R.; Henkin, Jack
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 38 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
     US 2004116479        A1    20040617    US 2003-678771         20031003
PRIORITY APPLN. INFO.:                      US 2002-416028P     P  20021004
OTHER SOURCE(S):          MARPAT 141:54199
ED   Entered STN:  18 Jun 2004
GI
```



I

AB Pyridine-3-carboxamide derivs. I [wherein R1, R2, R3, and R4 are independently selected from the group consisting of H, alkoxy, alkoxycarbonylalkyl, alkyl, NH2, aryl, arylalkyl, cyano, cyanoalkyl, cycloalkyl, (cycloalkyl)alkyl, halo, haloalkoxy, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, (heterocycle)alkyl, HO, hydroxyalkyl, and nitroalkyl; and R5 and R6 are independently selected from the group consisting of H, alkoxyalkyl, alkyl, alkynyl, alkylsulfanylalkyl, aminoalkyl, cyanoalkyl, cycloalkyl, (cycloalkyl)alkyl, haloalkyl, heteroarylalkyl, and (heterocycle)alkyl] or therapeutically acceptable salts thereof are prepared These compds. are angiogenesis inhibitors which are useful for treating cancer in a mammal, in particular a human.

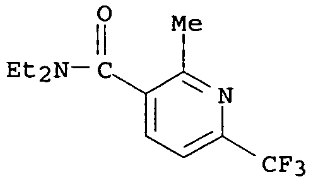
IT **646455-72-3P**, N,N-Diethyl-2-methyl-6-(trifluoromethyl)nicotinamide **676533-68-9P**, N-Isopropyl-N-methyl-2-methyl-6-(trifluoromethyl)nicotinamide **676533-69-0P**, N-Butyl-N-methyl-2-methyl-6-(trifluoromethyl)nicotinamide **676533-70-3P**, N-Isobutyl-N-methyl-2-methyl-6-(trifluoromethyl)nicotinamide **676533-71-4P**, N-Ethyl-2-methyl-N-propyl-6-(trifluoromethyl)nicotinamide **676533-72-5P**, N-Butyl-N-isopropyl-2-methyl-6-(trifluoromethyl)nicotinamide **676533-73-6P**, N-Isopropyl-2-methyl-N-propyl-6-(trifluoromethyl)nicotinamide **676533-74-7P**, N-Butyl-2-methyl-N-propyl-6-(trifluoromethyl)nicotinamide **676533-75-8P**, N,N-Dibutyl-2-methyl-6-(trifluoromethyl)nicotinamide **676533-76-9P**, N,N-Diisobutyl-2-methyl-6-(trifluoromethyl)nicotinamide **676534-24-0P**, N-Methyl-N-(2-propynyl)-2-methyl-6-(trifluoromethyl)nicotinamide **676534-57-9P**, N,N-Diethyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-52-7P**, N-Isopropyl-N-methyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-54-9P**, N-Butyl-N-methyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-56-1P**, N-Isobutyl-N-methyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-60-7P**, N-Methyl-N-(2-propynyl)-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-64-1P**, N-Ethyl-2-methyl-N-propyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-66-3P**, N-Butyl-N-isopropyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-70-9P**, N-Isopropyl-2-methyl-N-propyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-71-0P**, N-Butyl-2-methyl-N-propyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-73-2P**, N,N-Dibutyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-74-3P**, N,N-Diisobutyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

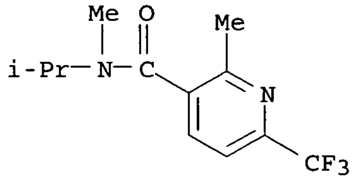
(preparation of nicotinamide derivs. as angiogenesis inhibitors and anticancer agents)

RN 646455-72-3 CAPLUS

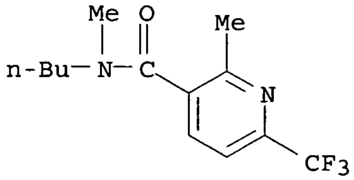
CN 3-Pyridinecarboxamide, N,N-diethyl-2-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



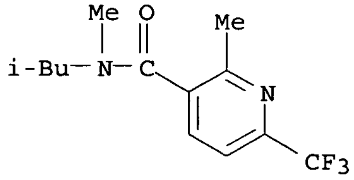
RN 676533-68-9 CAPLUS
CN 3-Pyridinecarboxamide, N,2-dimethyl-N-(1-methylethyl)-6-(trifluoromethyl)-
(9CI) (CA INDEX NAME)



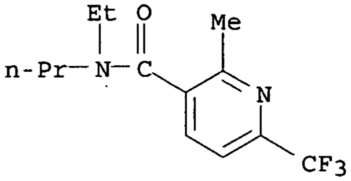
RN 676533-69-0 CAPLUS
CN 3-Pyridinecarboxamide, N-butyl-N,2-dimethyl-6-(trifluoromethyl)- (9CI)
(CA INDEX NAME)



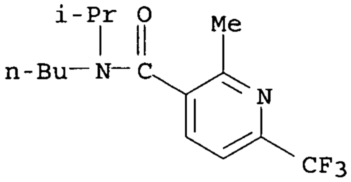
RN 676533-70-3 CAPLUS
CN 3-Pyridinecarboxamide, N,2-dimethyl-N-(2-methylpropyl)-6-(trifluoromethyl)-
(9CI) (CA INDEX NAME)



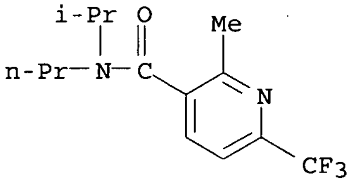
RN 676533-71-4 CAPLUS
CN 3-Pyridinecarboxamide, N-ethyl-2-methyl-N-propyl-6-(trifluoromethyl)-
(9CI) (CA INDEX NAME)



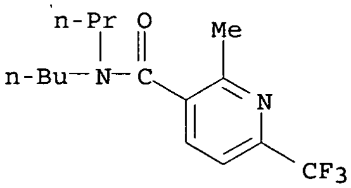
RN 676533-72-5 CAPLUS
CN 3-Pyridinecarboxamide, N-butyl-2-methyl-N-(1-methylethyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



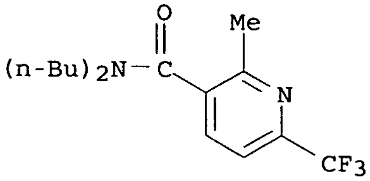
RN 676533-73-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-methyl-N-(1-methylethyl)-N-propyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



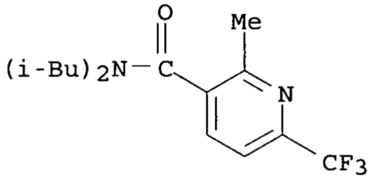
RN 676533-74-7 CAPLUS
CN 3-Pyridinecarboxamide, N-butyl-2-methyl-N-propyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



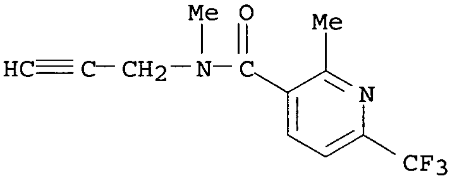
RN 676533-75-8 CAPLUS
CN 3-Pyridinecarboxamide, N,N-dibutyl-2-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 676533-76-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-methyl-N,N-bis(2-methylpropyl)-6-
(trifluoromethyl)- (9CI) (CA INDEX NAME)



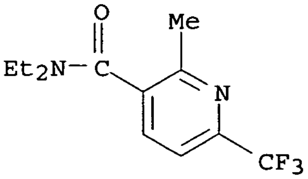
RN 676534-24-0 CAPLUS
CN 3-Pyridinecarboxamide, N,2-dimethyl-N-2-propynyl-6-(trifluoromethyl)-
(9CI) (CA INDEX NAME)



RN 676534-57-9 CAPLUS
CN 3-Pyridinecarboxamide, N,N-diethyl-2-methyl-6-(trifluoromethyl)-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

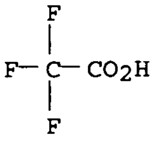
CM 1

CRN 646455-72-3
CMF C12 H15 F3 N2 O



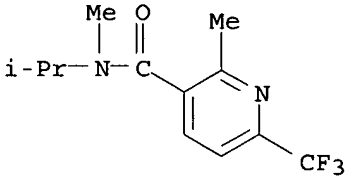
CM 2

```
    CRN  76-05-1
    CMF  C2 H F3 O2
```

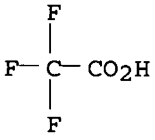


CF_3CO_2H

```
RN   676535-52-7  CAPLUS
CN   3-Pyridinecarboxamide, N,2-dimethyl-N-(1-methylethyl)-6-(trifluoromethyl)-
     , mono(trifluoroacetate) (9CI)  (CA INDEX NAME)

     CM   1

     CRN  676533-68-9
     CMF  C12 H15 F3 N2 O
```

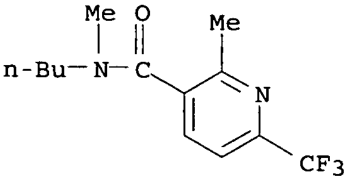


```
     CM   2

     CRN  76-05-1
     CMF  C2 H F3 O2
```



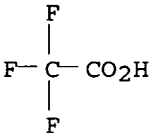
CF_3CO_2H

```
RN   676535-54-9  CAPLUS
CN   3-Pyridinecarboxamide, N-butyl-N,2-dimethyl-6-(trifluoromethyl)-,
     mono(trifluoroacetate) (9CI)  (CA INDEX NAME)

     CM   1

     CRN  676533-69-0
     CMF  C13 H17 F3 N2 O
```



CM 2

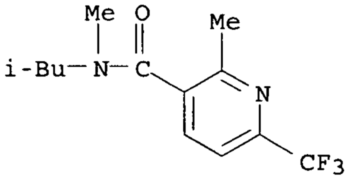
CRN 76-05-1
CMF C2 H F3 O2



RN 676535-56-1 CAPLUS
CN 3-Pyridinecarboxamide, N,2-dimethyl-N-(2-methylpropyl)-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

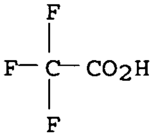
CM 1

CRN 676533-70-3
CMF C13 H17 F3 N2 O



CM 2

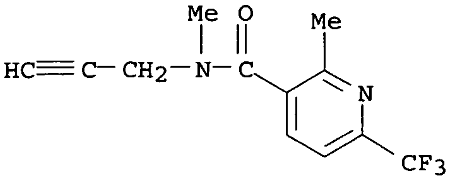
CRN 76-05-1
CMF C2 H F3 O2



RN 676535-60-7 CAPLUS
CN 3-Pyridinecarboxamide, N,2-dimethyl-N-2-propynyl-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

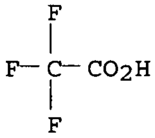
CM 1

CRN 676534-24-0
CMF C12 H11 F3 N2 O



CM 2

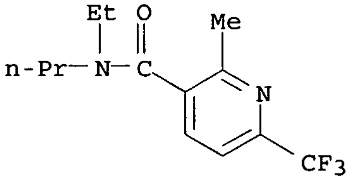
CRN 76-05-1
CMF C2 H F3 O2


$$\mathrm{F-\overset{\displaystyle F}{\underset{\displaystyle F}{C}}-CO_2H}$$

RN 676535-64-1 CAPLUS
CN 3-Pyridinecarboxamide, N-ethyl-2-methyl-N-propyl-6-(trifluoromethyl)-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

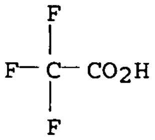
CM 1

CRN 676533-71-4
CMF C13 H17 F3 N2 O



CM 2

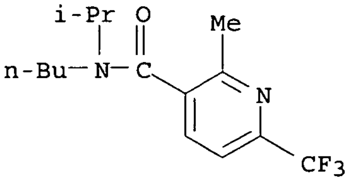
CRN 76-05-1
CMF C2 H F3 O2



RN 676535-66-3 CAPLUS
CN 3-Pyridinecarboxamide, N-butyl-2-methyl-N-(1-methylethyl)-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

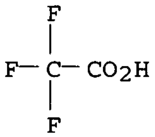
CM 1

CRN 676533-72-5
CMF C15 H21 F3 N2 O



CM 2

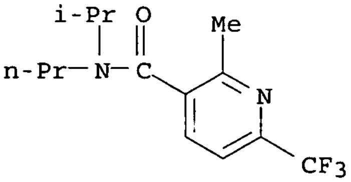
CRN 76-05-1
CMF C2 H F3 O2



RN 676535-70-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-methyl-N-(1-methylethyl)-N-propyl-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

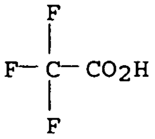
CM 1

CRN 676533-73-6
CMF C14 H19 F3 N2 O



CM 2

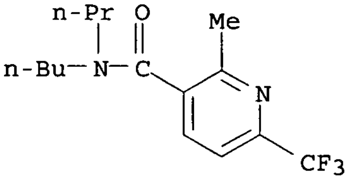
CRN 76-05-1
CMF C2 H F3 O2



RN 676535-71-0 CAPLUS
CN 3-Pyridinecarboxamide, N-butyl-2-methyl-N-propyl-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

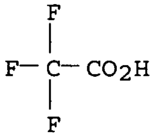
CM 1

CRN 676533-74-7
CMF C15 H21 F3 N2 O



CM 2

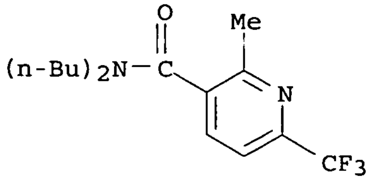
CRN 76-05-1
CMF C2 H F3 O2



RN 676535-73-2 CAPLUS
CN 3-Pyridinecarboxamide, N,N-dibutyl-2-methyl-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

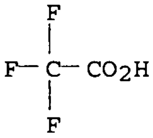
CM 1

CRN 676533-75-8
CMF C16 H23 F3 N2 O



CM 2

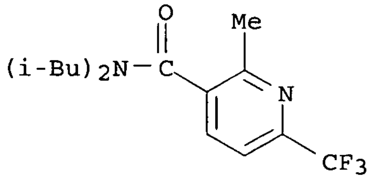
CRN 76-05-1
CMF C2 H F3 O2



RN 676535-74-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-methyl-N,N-bis(2-methylpropyl)-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

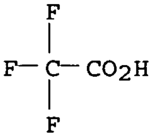
CM 1

CRN 676533-76-9
CMF C16 H23 F3 N2 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

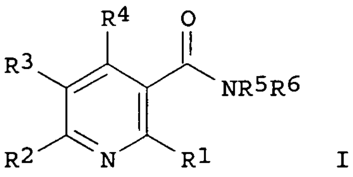


L18 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2004:293391 CAPLUS

DOCUMENT NUMBER: 140:303548
TITLE: Preparation of nicotinamide derivatives and method of inhibiting angiogenesis
INVENTOR(S): Haviv, Fortuna; Bradley, Michael F.; Dinges, Jurgen; Sauer, Daryl R.; Henkin, Jack
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 38 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

```
     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
     ---------------     ----   --------   --------------------   --------
     US 2004067985        A1    20040408   US 2002-264421         20021004
     CA 2501043           AA    20040422   CA 2003-2501043        20031002
     WO 2004032908        A2    20040422   WO 2003-US31220        20031002
     WO 2004032908        C2    20040527
     WO 2004032908        A3    20040812
         W:  CA, JP, MX
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IT, LU, MC, NL, PT, RO, SE, SI, SK, TR
     EP 1551404           A2    20050713   EP 2003-773094         20031002
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2006504731        T2    20060209   JP 2004-543083         20031002
PRIORITY APPLN. INFO.:                     US 2002-264421      A  20021004
                                           WO 2003-US31220     W  20031002
```

OTHER SOURCE(S): MARPAT 140:303548
ED Entered STN: 09 Apr 2004
GI



I

AB Pyridine-3-carboxamide derivs. [I; wherein R1, R2, R3, and R4 are independently selected from the group consisting of H, alkoxy, alkoxycarbonylalkyl, alkyl, NH2, aryl, arylalkyl, cyano, cyanoalkyl, cycloalkyl, (cycloalkyl)alkyl, halo, haloalkoxy, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, (heterocycle)alkyl, HO, hydroxyalkyl, and nitroalkyl; and R5 and R6 are independently selected from the group consisting of H, alkoxyalkyl, alkyl, alkynyl, alkylsulfanylalkyl, aminoalkyl, cyanoalkyl, cycloalkyl, (cycloalkyl)alkyl, haloalkyl, heteroarylalkyl, and (heterocycle)alkyl] or therapeutically acceptable salts thereof are prepared These compds. are angiogenesis inhibitors which are useful for treating cancer in a mammal, in particular a human.

IT **646455-72-3P**, N,N-Diethyl-2-methyl-6-(trifluoromethyl)nicotinamide **676533-68-9P**, N-Isopropyl-N-methyl-2-methyl-6-(trifluoromethyl)nicotinamide **676533-69-0P**, N-Butyl-N-methyl-2-methyl-6-(trifluoromethyl)nicotinamide **676533-70-3P**, N-Isobutyl-N-methyl-2-methyl-6-(trifluoromethyl)nicotinamide **676533-71-4P**, N-Ethyl-2-methyl-N-propyl-6-

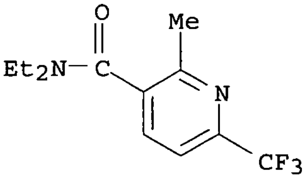
103/7014

10249987
10295033

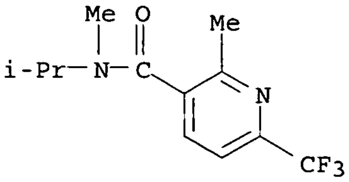
61.34226
6~72989
6476,031
61 462036

(trifluoromethyl)nicotinamide **676533-72-5P**, N-Butyl-N-isopropyl-2-methyl-6-(trifluoromethyl)nicotinamide **676533-73-6P**, N-Isopropyl-2-methyl-N-propyl-6-(trifluoromethyl)nicotinamide **676533-74-7P**, N-Butyl-2-methyl-N-propyl-6-(trifluoromethyl)nicotinamide **676533-75-8P**, N,N-Dibutyl-2-methyl-6-(trifluoromethyl)nicotinamide **676533-76-9P**, N,N-Diisobutyl-2-methyl-6-(trifluoromethyl)nicotinamide **676534-24-0P**, N-Methyl-N-(2-propynyl)-2-methyl-6-(trifluoromethyl)nicotinamide **676534-57-9P**, N,N-Diethyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-52-7P**, N-Isopropyl-N-methyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-54-9P**, N-Butyl-N-methyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-56-1P**, N-Isobutyl-N-methyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-60-7P**, N-Methyl-N-(2-propynyl)-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-64-1P**, N-Ethyl-2-methyl-N-propyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-66-3P**, N-Butyl-N-isopropyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-70-9P**, N-Isopropyl-2-methyl-N-propyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-71-0P**, N-Butyl-2-methyl-N-propyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-73-2P**, N,N-Dibutyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate **676535-74-3P**, N,N-Diisobutyl-2-methyl-6-(trifluoromethyl)nicotinamide trifluoroacetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nicotinamide derivs. as angiogenesis inhibitors and anticancer agents)

RN 646455-72-3 CAPLUS
CN 3-Pyridinecarboxamide, N,N-diethyl-2-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

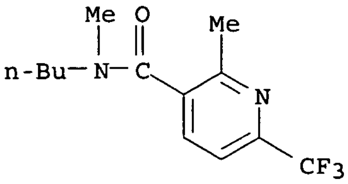


RN 676533-68-9 CAPLUS
CN 3-Pyridinecarboxamide, N,2-dimethyl-N-(1-methylethyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

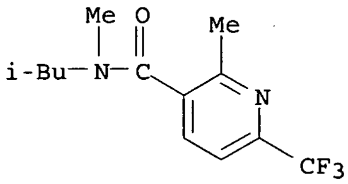


RN 676533-69-0 CAPLUS
CN 3-Pyridinecarboxamide, N-butyl-N,2-dimethyl-6-(trifluoromethyl)- (9CI)

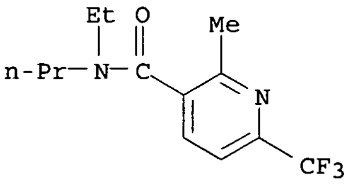
(CA INDEX NAME)



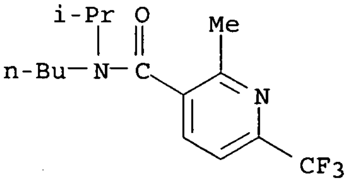
RN 676533-70-3 CAPLUS
CN 3-Pyridinecarboxamide, N,2-dimethyl-N-(2-methylpropyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



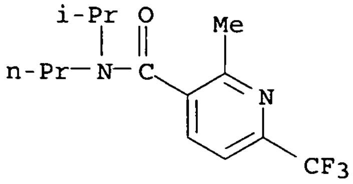
RN 676533-71-4 CAPLUS
CN 3-Pyridinecarboxamide, N-ethyl-2-methyl-N-propyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



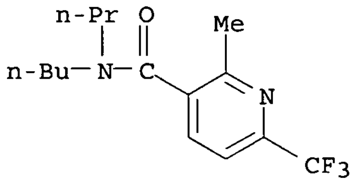
RN 676533-72-5 CAPLUS
CN 3-Pyridinecarboxamide, N-butyl-2-methyl-N-(1-methylethyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



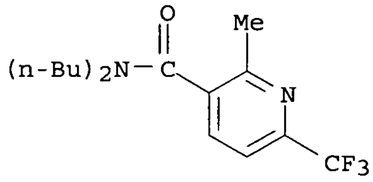
RN 676533-73-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-methyl-N-(1-methylethyl)-N-propyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



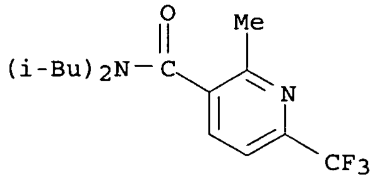
RN 676533-74-7 CAPLUS
CN 3-Pyridinecarboxamide, N-butyl-2-methyl-N-propyl-6-(trifluoromethyl)-
(9CI) (CA INDEX NAME)



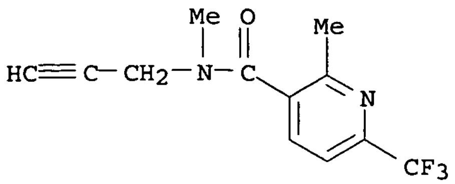
RN 676533-75-8 CAPLUS
CN 3-Pyridinecarboxamide, N,N-dibutyl-2-methyl-6-(trifluoromethyl)- (9CI)
(CA INDEX NAME)



RN 676533-76-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-methyl-N,N-bis(2-methylpropyl)-6-
(trifluoromethyl)- (9CI) (CA INDEX NAME)



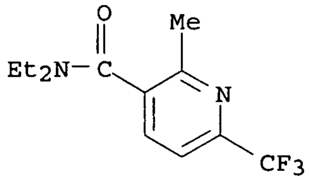
RN 676534-24-0 CAPLUS
CN 3-Pyridinecarboxamide, N,2-dimethyl-N-2-propynyl-6-(trifluoromethyl)-
(9CI) (CA INDEX NAME)



RN 676534-57-9 CAPLUS
CN 3-Pyridinecarboxamide, N,N-diethyl-2-methyl-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

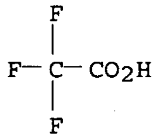
CM 1

CRN 646455-72-3
CMF C12 H15 F3 N2 O



CM 2

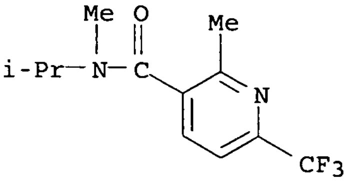
CRN 76-05-1
CMF C2 H F3 O2



RN 676535-52-7 CAPLUS
CN 3-Pyridinecarboxamide, N,2-dimethyl-N-(1-methylethyl)-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

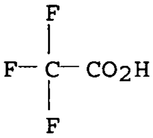
CM 1

CRN 676533-68-9
CMF C12 H15 F3 N2 O



CM 2

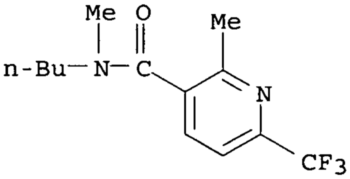
CRN 76-05-1
CMF C2 H F3 O2



RN 676535-54-9 CAPLUS
CN 3-Pyridinecarboxamide, N-butyl-N,2-dimethyl-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

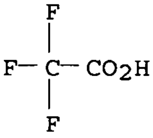
CM 1

CRN 676533-69-0
CMF C13 H17 F3 N2 O



CM 2

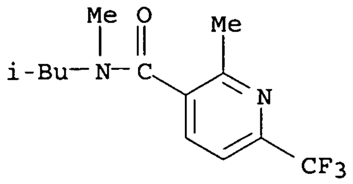
CRN 76-05-1
CMF C2 H F3 O2



RN 676535-56-1 CAPLUS
CN 3-Pyridinecarboxamide, N,2-dimethyl-N-(2-methylpropyl)-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

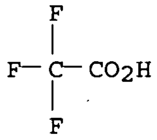
CM 1

CRN 676533-70-3
CMF C13 H17 F3 N2 O



CM 2

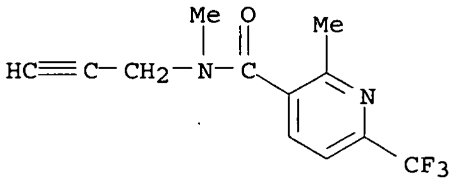
CRN 76-05-1
CMF C2 H F3 O2



RN 676535-60-7 CAPLUS
CN 3-Pyridinecarboxamide, N,2-dimethyl-N-2-propynyl-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

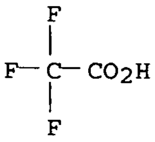
CM 1

CRN 676534-24-0
CMF C12 H11 F3 N2 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

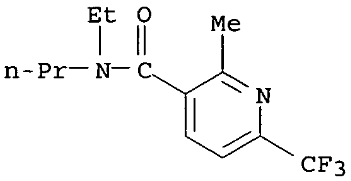


$\mathrm{F-\overset{F}{\underset{F}{C}}-CO_2H}$

RN 676535-64-1 CAPLUS
CN 3-Pyridinecarboxamide, N-ethyl-2-methyl-N-propyl-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

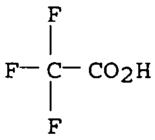
CM 1

CRN 676533-71-4
CMF C13 H17 F3 N2 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

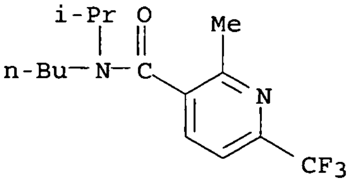


$\mathrm{F-\overset{F}{\underset{F}{C}}-CO_2H}$

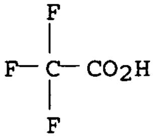
RN 676535-66-3 CAPLUS
CN 3-Pyridinecarboxamide, N-butyl-2-methyl-N-(1-methylethyl)-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

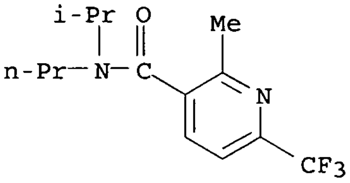
CRN 676533-72-5
CMF C15 H21 F3 N2 O



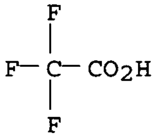
```
     CM   2

     CRN  76-05-1
     CMF  C2 H F3 O2
```



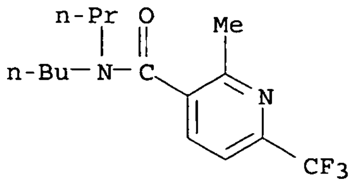
```
RN   676535-70-9  CAPLUS
CN   3-Pyridinecarboxamide, 2-methyl-N-(1-methylethyl)-N-propyl-6-
     (trifluoromethyl)-, mono(trifluoroacetate) (9CI)  (CA INDEX NAME)

     CM   1

     CRN  676533-73-6
     CMF  C14 H19 F3 N2 O
```



```
     CM   2

     CRN  76-05-1
     CMF  C2 H F3 O2
```

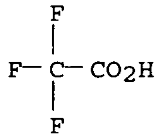


```
RN   676535-71-0  CAPLUS
CN   3-Pyridinecarboxamide, N-butyl-2-methyl-N-propyl-6-(trifluoromethyl)-,
     mono(trifluoroacetate) (9CI)  (CA INDEX NAME)

     CM   1

     CRN  676533-74-7
     CMF  C15 H21 F3 N2 O
```



CM 2

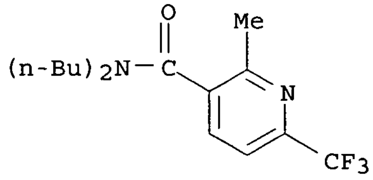
CRN 76-05-1
CMF C2 H F3 O2



RN 676535-73-2 CAPLUS
CN 3-Pyridinecarboxamide, N,N-dibutyl-2-methyl-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

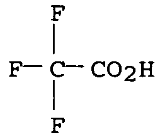
CM 1

CRN 676533-75-8
CMF C16 H23 F3 N2 O



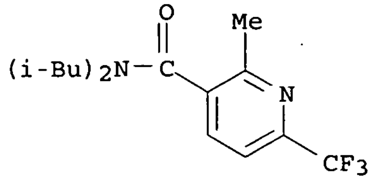
CM 2

CRN 76-05-1
CMF C2 H F3 O2

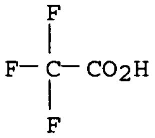


RN 676535-74-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-methyl-N,N-bis(2-methylpropyl)-6-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

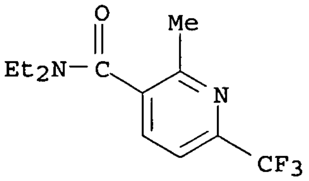
```
     CM   1

     CRN  676533-76-9
     CMF  C16 H23 F3 N2 O
```



```
     CM   2

     CRN  76-05-1
     CMF  C2 H F3 O2
```



```
'IALL' IS NOT A VALID FORMAT
REENTER DISPLAY FORMAT FOR ALL FILES (FILEDEFAULT):all

L18  ANSWER 3 OF 27  CHEMCATS COPYRIGHT 2006 ACS on STN
Accession No.        (AN): 2005:626298  CHEMCATS
Catalog Name         (CO): Fluorochemicals for Research and Development
Publication Date     (PD): 23 Sep 2005
Order Number         (ON): PC31138
Chemical Name        (CN): N,N-diethyl-2-methyl-6-(trifluoromethyl)nicotinamide
CAS Registry No.     (RN): 646455-72-3
Structure                :
```



```
PRICES

Quantity                : N/A, Price: contact supplier
```

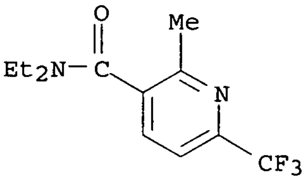
COMPANY INFORMATION

Apollo Scientific Ltd.
Whitefield Rd.
Bredbury, Stockport, Cheshire, SK6 2QR
United Kingdom

Phone: 44(0)161 406 0505
Fax: 44(0)161 406 0506
Email: sales@apolloscientific.co.uk
Web: http://www.apolloscientific.co.uk

L18 ANSWER 4 OF 27 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No.	(AN):	2001:1549117 CHEMCATS
Catalog Name	(CO):	Maybridge CombiChem
Publication Date	(PD):	7 Nov 2005
Order Number	(ON):	AW 00760
Chemical Name	(CN):	N,N-diethyl-2-methyl-6-(trifluoromethyl)nicotinamide
CAS Registry No.	(RN):	**646455-72-3**
Structure	:	



PRICES

Quantity : 250MG, Price: $44.60
Quantity : 1GR, Price: $89.00

COMPANY INFORMATION

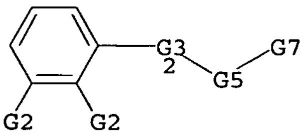
Maybridge plc
Trevillett
Tintagel, Cornwall, PL34 0HW
United Kingdom

Phone: (44) 01840 770453
Fax: (44) 01840 770111
Email: enquiries@maybridge.com
Web: http://www.maybridge.com

L18 ANSWER 5 OF 27 MARPAT COPYRIGHT 2006 ACS on STN

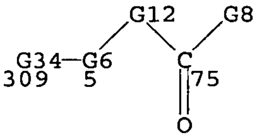
```
ACCESSION NUMBER:         142:280065  MARPAT
TITLE:                    Preparation of aroylpiperidines and related compounds
                          as selective inhibitors of the type 2 glycine
                          transporter (GlyT2).
INVENTOR(S):            - Huang, Charles Q.; Lovenberg, Timothy W.; Santillan,
                          Alejandro; Tang, Liu Y.; Wolin, Ronald L.
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 34 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.         KIND  DATE            APPLICATION NO.   DATE
     ---------------    ----  --------        ---------------   --------
     US 2005049239       A1   20050303        US 2004-919734    20040817
     CA 2536461          AA   20050310        CA 2004-2536461   20040817
     WO 2005021525       A1   20050310        WO 2004-US26753   20040817
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     EP 1660472          A1   20060531        EP 2004-781447    20040817
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
PRIORITY APPLN. INFO.:                        US 2003-498477P   20030827
                                              WO 2004-US26753   20040817
OTHER SOURCE(S):          CASREACT 142:280065
AB    R4XY1NR1Y2Ar1CONR2R3 [R1 = H, (substituted) alkyl, alkenyl, alkynyl; R2,
      R3 = H, (substituted) alkyl, alkenyl, alkynyl; NR2R3 = piperidinyl,
      pyrrolidinyl, tetrahydropyridyl, dihydropyridyl, azepanyl, morpholinyl; R4
      = (substituted) Ph; Ar1 = (substituted) phenylene, pyridinediyl,
      pyrimidinediyl, thiophenediyl, thiazolediyl; Y1, Y2 = alkylene,
      alkenylene; X = S, O, NR1, bond], were prepared  Thus, N1-(2-
      isopropoxyphenyl)ethane-1,2-diamine (preparation given) and
      3-(piperidine-1-carbonyl)benzaldehyde (preparation given) were stirred 15 min.
      in ClCH2CH2Cl; NaBH(OAc)3 was added followed by stirring for 5 h to give
      66% [3-[[2-(2-isopropoxyphenylamino)ethylamino]methyl]phenyl]piperidin-1-
      ylmethanone.  The latter showed GlyT2 inhibitory activity with IC50 = 0.4
      µM.
```

MSTR 1



G3 = bond

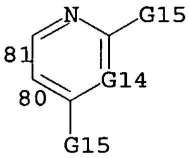
G6 = alkylene <containing 1-5 C>
G7 = 309



G8 = 72

G13—G11
72

G11 = alkyl <containing 1-6 C>
(opt. substd. by 1 or more G10)
G12 = 81-5 80-75



G13 = 78

N——G11
78

G14 = 89

G15—C
89

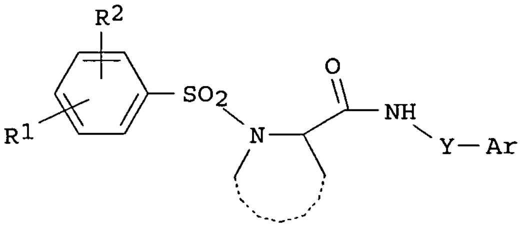
G15 = CF3

Patent location:	claim 1
Note:	and pharmaceutically acceptable salts, solvates and polymorphs
Note:	substitution is restricted
Note:	additional ring formation also claimed
Note:	also incorporates claim 13
Stereochemistry:	and stereoisomers

L18 ANSWER 6 OF 27 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	143:452925 MARPAT
TITLE:	Benzenesulfonamide derivative LXR receptor modulators, their preparation, and their therapeutic use
INVENTOR(S):	Lebreton, Luc; Massardier, Christine; Dumas, Christine; Dodey, Pierre; Masson, Philippe
PATENT ASSIGNEE(S):	Laboratoires Fournier S.A., Fr.
SOURCE:	Fr. Demande, 55 pp. CODEN: FRXXBL
DOCUMENT TYPE:	Patent

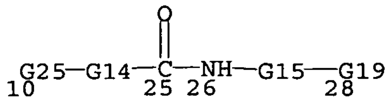
```
LANGUAGE:                  French
FAMILY ACC. NUM. COUNT:    1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
     ---------------   ----  --------      ---------------   --------
     FR 2869904         A1   20051111      FR 2004-4958      20040507
     WO 2005121093      A1   20051222      WO 2005-FR1139    20050509
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
             SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
             ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                 FR 2004-4958      20040507
GI
```



I

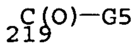
AB The invention discloses benzenesulfonamide derivs. I [H = condensed heterocyclyl; R1 = Cl, phenoxy, Ph, etc.; R2 = H, halo, etc.; Y = bond, C1-4 alkylene, etc.; Ar = (hetero)aromatic nucleus], or a pharmaceutically acceptable addition salt thereof. The invention also discloses preparation methods for these compds., pharmaceutical compns. containing them, and their use as pharmacol. active substances, in particular for the treatment of neurodegeneration, cardiovascular disease, inflammatory disease, and hypercholesterolemia.

MSTR 1



$$\underset{10}{G25}-G14-\underset{25}{\overset{\overset{O}{\|}}{C}}-\underset{26}{NH}-G15-\underset{28}{G19}$$

G3 = CF3 / 219



$$\underset{219}{C}(O)-G5$$

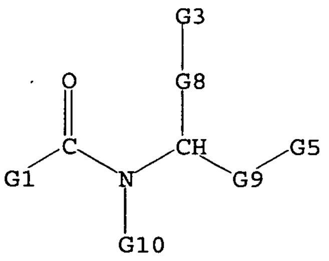
G5 = 231

```
 G23—G24
231


G15     = alkylene <containing 1-4 C>
          (opt. substd. by 1 or more G16)
G19     = pyridyl (opt. substd. by (1-2) G3)
G23     = 233


 N——G24
233


G24     = alkyl <containing 1-3 C>
Patent location:              claim 1
Note:                         also incorporates claim 9, formulas IV and V
Note:                         substitution is restricted


  MSTR 3


H2N——G15——G19
 26         28


G3      = CF3  / 207


 C(O)—G5
207


G5      = 219


 G23—G24
219


G15     = alkylene <containing 1-4 C>
          (opt. substd. by 1 or more G16)
G19     = pyridyl (opt. substd. by (1-2) G3)
G23     = 221


 N——G24
221


G24     = alkyl <containing 1-3 C>
Patent location:              claim 9

REFERENCE COUNT:         2      THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18  ANSWER 7 OF 27  MARPAT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        140:253449  MARPAT
TITLE:                   Preparation of heterocyclylcarboxamides as oxytocin
                         inhibitors
INVENTOR(S):             Armour, Duncan Robert; Bell, Andrew Simon; Edwards,
                         Paul John; Ellis, David; Hepworth, David; Lewis, Mark
```

```
                              Llewellyn; Smith, Christopher Ronald
PATENT ASSIGNEE(S):           Pfizer Limited, UK; Pfizer Inc.
SOURCE:                       PCT Int. Appl., 124 pp.
                              CODEN: PIXXD2
DOCUMENT TYPE:                Patent
LANGUAGE:                     English
FAMILY ACC. NUM. COUNT:       1
PATENT INFORMATION:

     PATENT NO.          KIND  DATE          APPLICATION NO.   DATE
     ---------------     ----  --------      ---------------   --------
     WO 2004020414        A1   20040311      WO 2003-IB3705    20030813
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 6914160           B1   20050705      US 2003-632438    20030731
     CA 2496887           AA   20040311      CA 2003-2496887   20030813
     AU 2003255952        A1   20040319      AU 2003-255952    20030813
     EP 1537085           A1   20050608      EP 2003-791119    20030813
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     BR 2003013969        A    20050719      BR 2003-13969     20030813
     JP 2006508055        T2   20060309      JP 2004-532405    20030813
PRIORITY APPLN. INFO.:                       GB 2002-19961     20020828
                                             US 2002-432787P   20021211
                                             WO 2003-IB3705    20030813
```

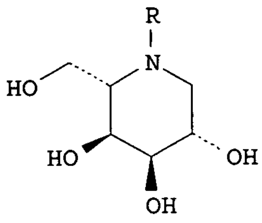
AB R1CON[(CH2)xR2]C(R4)[(CH2)yR3](CH2)zR5 [R1 = (substituted) Ph, heteroaryl; R2 = (substituted) Ph, OPh, cycloalkyl, heteroaryl, heterocyclyl, etc.; R3 = (substituted) (fused) Ph, heterocyclyl, heteroaryl, R6, etc.; R4 = H, Me; R5 = CONH2, NH2, OH, R6, NHR6, OR6, CONHR6, (substituted) heteroaryl, etc.; R6 = alkyl; x, y, z = 0-2], were prepared Thus, 4-chlorobenzylamine, o-tolualdehyde, 2-aminonicotinic acid, and (4-isocyanocyclohex-3-enyl)benzene (preparation given) were stirred in MeOH/cyclohexane to give a residue which was stirred in aqueous HCl/THF to give 2-amino-N-[2-amino-1-(2-methylphenyl)-2-oxoethyl]-N-(4-chlorobenzyl)nicotinamide. Title compds. at 10 μM gave >70% inhibition of oxytocin.

MSTR 1A

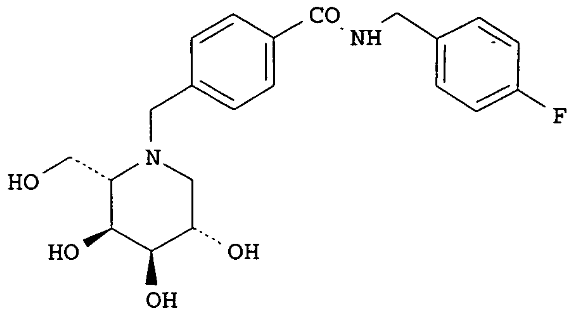


G1 = 3-pyridyl (opt. substd. by (1-3) G14)
G2 = alkyl <containing 1-6 C>

```
G3      = alkyl <containing 1-6 C>
G5      = alkyl <containing 1-6 C>
G7      = (1-2) CH2
G8      = (0-2) CH2
G9      = (0-2) CH2
G10     = 20


 G7—G2
20


G14     = Me  / CF3
Patent location:            claim 1

REFERENCE COUNT:          15    THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18  ANSWER 8 OF 27  MARPAT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         140:94233  MARPAT
TITLE:                    Preparation of aza-sugar piperidinetriol derivatives
                          as antiviral and antitumor agents and inhibitors of
                          glycosylceramide synthase
INVENTOR(S):              Ali, Mezher Hussein; Orchard, Michael Glen
PATENT ASSIGNEE(S):       Oxford Glycosciences (UK) Ltd., UK
SOURCE:                   PCT Int. Appl., 31 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
     WO 2004007454      A1   20040122      WO 2003-GB3244   20030717
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2492410         AA   20040122      CA 2003-2492410  20030717
     AU 2003248960      A1   20040202      AU 2003-248960   20030717
     EP 1554245         A1   20050720      EP 2003-764031   20030717
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2005536506      T2   20051202      JP 2004-520913   20030717
     US 2006111400      A1   20060525      US 2005-522207   20051027
PRIORITY APPLN. INFO.:                     GB 2002-16656    20020717
                                           GB 2003-1480     20030122
                                           GB 2003-13674    20030613
                                           WO 2003-GB3244   20030717

GI
```



I



II

AB Aza-sugar piperidinetriol derivs. I; wherein R is substituted alkylphenyl, alkylpyridiyl, were prepared as inhibitors of glucosylceramide synthase. Thus, II was prepared and tested in vitro as antiviral agent and inhibitor of glycosylceramide synthase (IC50 range = 0.1 to > 100.0 μM).

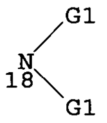
MSTR 1

G7—G20

G1 = alkyl <containing 1-6 C> (opt. substd. by OH)
G7 = pyridyl (substd. by 1 or more G8)
G8 = 14 / CF3

G11—G9
14

G9 = 18



G11 = C(O)
G20 = 80

G21—CHO
80

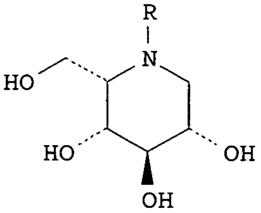
G21 = alkylene <containing 1-2 C>
Patent location: claim 1
Note: or pharmaceutically acceptable salts or prodrugs
Note: also incorporates claim 14

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

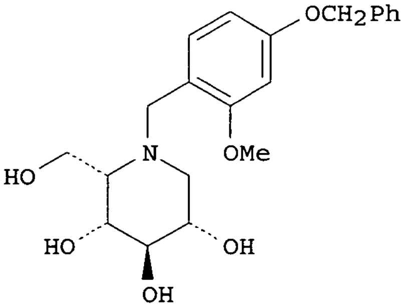
L18 ANSWER 9 OF 27 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:94232 MARPAT
TITLE: Preparation of aza-sugar piperidinetriol derivatives as antiviral and antitumor agents and inhibitors of

```
                            glycosylceramide synthase
INVENTOR(S):                Ali, Mezher Hussein; Orchard, Michael Glen
PATENT ASSIGNEE(S):         Oxford Glycosciences (UK) Ltd., UK
SOURCE:                     PCT Int. Appl., 44 pp.
                            CODEN: PIXXD2
DOCUMENT TYPE:              Patent
LANGUAGE:                   English
FAMILY ACC. NUM. COUNT:     2
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
     ---------------   ----  --------      ---------------   --------
     WO 2004007453      A1   20040122      WO 2003-GB3099    20030717
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2492404         AA   20040122      CA 2003-2492404   20030717
     AU 2003246942      A1   20040202      AU 2003-246942    20030717
     EP 1534676         A1   20050601      EP 2003-764025    20030717
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2005536503      T2   20051202      JP 2004-520900    20030717
     US 2006058349      A1   20060316      US 2005-522208    20051027
PRIORITY APPLN. INFO.:                     GB 2002-16656     20020717
                                           GB 2003-1480      20030122
                                           GB 2003-13679     20030613
                                           WO 2003-GB3099    20030717
```

GI



I



II

AB Aza-sugar piperidinetriol derivs. I; wherein R is substituted alkylphenyl, alkylpyridiyl, were prepared as inhibitors of glucosylceramide synthase. Thus, II was prepared and tested in vitro as antiviral agent and inhibitor of glycosylceramide synthase (IC50 range = 0.01-2.70 μM).

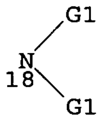
MSTR 1

G7—G20

G1 = alkyl <containing 1-6 C> (opt. substd. by OH)
G7 = pyridyl (substd. by 1 or more G8)
G8 = 14 / CF3

G11—G9
14

G9 = 18



G11 = C(O)
G20 = 80

G21—CHO
80

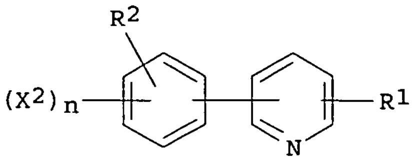
G21 = alkylene <containing 1-2 C>
Patent location: claim 1
Note: or pharmaceutically acceptable salts or prodrugs
Note: also incorporates claim 14

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 10 OF 27 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:71456 MARPAT
TITLE: Preparation of (haloaryl)pyridines
INVENTOR(S): Kyoku, Kagehira; Takehara, Jun; Urata, Takao
PATENT ASSIGNEE(S): API Corporation, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

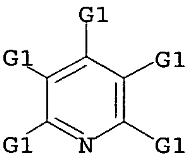
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004182713	A2	20040702	JP 2002-382966	20021203
PRIORITY APPLN. INFO.:			JP 2002-382966	20021203

OTHER SOURCE(S): CASREACT 141:71456
GI


I

AB Title compds. I [R1, R2 = H, C1-6 alkyl, haloalkyl, (un)substituted aryl, C2-6 alkenyl, etc.; X2 = F, Cl, Br, I; n = 1-5] are prepared by reaction of halopyridines with (haloaryl)boronic acids and/or tris(haloaryl)boroxins (general formula given) in solvents in the presence of bases and Pd-phosphine catalysts. 3-FC6H4B(OH)2 was treated with 2-methyl-5-bromopyridine in 1,2-dimethoxyethane in the presence of aqueous Na2CO3 and catalyst (prepared from Pd/C and PPh3) at 80° for 22 h to give 93% 2-methyl-5-(3-fluorophenyl)pyridine.

MSTR 1



G1 = (up to 1) G2
G2 = dialkylaminocarbonyl <each alkyl containing 1-6 C>
/ Me / CF3
Patent location: claim 1

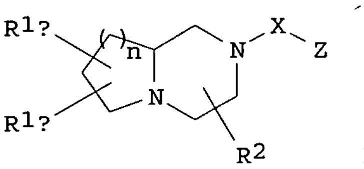
L18 ANSWER 11 OF 27 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:42207 MARPAT
TITLE: Preparation of substituted hexahydropyrrolo[1,2-a]pyrazines, octahydropyrido[1,2-a]pyrazines and decahydropyrazino[1,2-a]azepines having binding affinity to the histamine H3 receptor
INVENTOR(S): Pesche, Bernd; Hohlweg, Rolf
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104235	A1	20031218	WO 2003-DK329	20030519

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

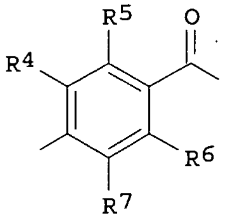
```
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
            FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003229535      A1    20031222        AU 2003-229535   20030519
     EP 1513842         A1    20050316        EP 2003-722314   20030519
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK
     JP 2005529942      T2    20051006        JP 2004-511305   20030519
     US 2004023946      A1    20040205        US 2003-453106   20030603
     US 6906060         B2    20050614
     US 2005267116      A1    20051201        US 2005-115564   20050427
PRIORITY APPLN. INFO.:                        DK 2002-863      20020606
                                              US 2002-387047P  20020607
                                              WO 2003-DK329    20030519
                                              US 2003-453106   20030603
```

GI

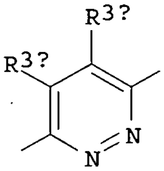


I

Q=



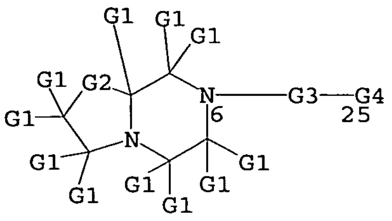
Q1=



AB Novel substituted hexahydropyrrolo[1,2-a]pyrazines, octahydropyrido[1,2-a]pyrazines, and decahydropyrazino[1,2-a]azepines [I; n = 1, 2, 3; R1a, R1b = H, C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C3-8-cycloalkyl, C3-8-cycloalkenyl, F; R2 = H, C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C3-8-cycloalkyl, C3-8-cycloalkenyl; X = COCR3aR3CO, Q, Q1, CO2CR3aR3cCR3bR3d; wherein R3a, R3b, R3c, R3d = H, halo, C1-6-alkyl or C3-8-cycloalkyl, or R3a and R3b, R3a and R3c, or R3b and R3d can be taken together to form a C1-6-alkylene bridge; R4, R5, R6, R7 = independently H, halo, C1-6-alkyl or C3-8-cycloalkyl; Z = each (un)substituted Ph or 2-,3-, or 4-pyridyl] as well as any diastereomer or enantiomer or tautomeric forms thereof including mixts. of these or pharmaceutically acceptable salt thereof are prepared These compds. show a high and selective binding affinity to the histamine H3 receptor indicating histamine H3 receptor antagonistic, inverse agonistic activity. As a result, the compds. are useful for the treatment of diseases and disorders related to the histamine H3 receptor, e.g. overweight, obesity, bulimia, binge eating, impaired glucose tolerance (IGT), type 2 diabetes, allergic rhinitis, ulcer, anorexia, Alzheimer's disease, narcolepsy, or attention deficit disorder. They are useful for the suppression of appetite or for satiety induction or for the delaying or prevention of the progression of IGT to type 2 diabetes or the progression from non-insulin requiring type 2

diabetes to insulin requiring type 2 diabetes.

MSTR 1



G3 = 52-6 54-25

C(O)—O——G15
52 53 54

G4 = pyridyl (opt. substd. by 1 or more G12)
G12 = 61 / 68 / CF3

G16—G17
61

G20—C(O)—G19
68

G15 = alkylene <containing 2 C, unbranched>
(opt. substd. by 1 or more G6)
G16 = 63

N——G17
63

G17 = carbon chain <containing 1-6 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.)
G19 = 71

G16—G17
71

G20 = bond
Patent location: claim 1
Note: and pharmaceutically acceptable salts and tautomers
Note: substitution is restricted
Stereochemistry: and diastereomers, enantiomers, and mixtures

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 12 OF 27 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:16978 MARPAT
TITLE: Preparation of cross-linked glycopeptide-cephalosporin derivatives as antibiotics
INVENTOR(S): Marquess, Daniel; Linsell, Martin S.; Turner, Derek S.; Trapp, Sean G.; Long, Daniel D.; Fatheree, Paul

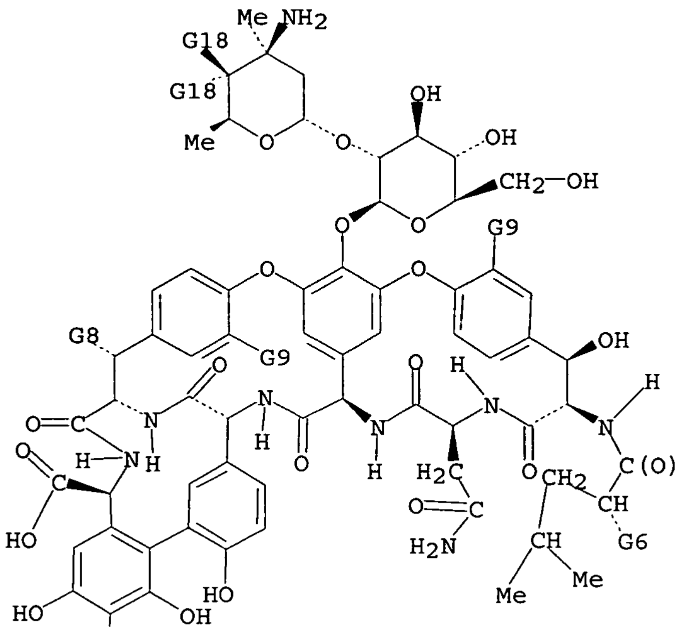
```
PATENT ASSIGNEE(S):      Theravance, Inc., USA
SOURCE:                  PCT Int. Appl., 70 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND  DATE          APPLICATION NO.   DATE
     ---------------     ----  --------      ---------------   --------
     WO 2003099858        A1   20031204      WO 2003-US16375   20030523
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003231833        A1   20031212      AU 2003-231833    20030523
     US 2004033939        A1   20040219      US 2003-444847    20030523
     US 6878686           B2   20050412
     EP 1507796           A1   20050223      EP 2003-755467    20030523
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2006503805        T2   20060202      JP 2004-508112    20030523
     US 2005209132        A1   20050922      US 2004-967578    20041018
     US 6995138           B2   20060207
     US 2006025332        A1   20060202      US 2005-233220    20050922
PRIORITY APPLN. INFO.:                       US 2002-383274P   20020524
                                             US 2003-444847    20030523
                                             WO 2003-US16375   20030523
                                             US 2004-967578    20041018
```

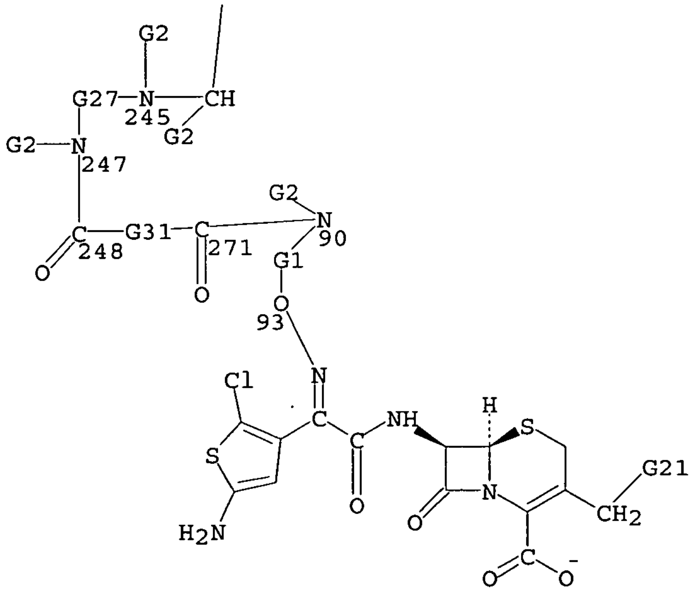
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention provides cross-linked glycopeptide cephalosporin derivs., such as I [R1 = Ya-(W)nYb; R2 = H, alkyl; R3 = alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclic; R4, R5 = H, alkyl; R6 = Ya-(W)nYb; R7 = H, alkyl; R8 = bond, Yc-(W)nYd; R9, R10 = H, OH; R11, R12 = H, Me; R13 = H, heterocyclic; m = 0-3; n = 0-1; X1, X2 = H, Cl; Ya, Yb = alkylene; Yc, Yd = bond, alkylene; W = cycloalkylene, arylene, heteroarylene], and pharmaceutically acceptable salts thereof which are useful as antibiotics. This invention also provides pharmaceutical compns. containing such compds.; methods for treating bacterial infections in a mammal using such compds.; and processes and intermediates useful for preparing such compds. Thus, I [R1 = (CH2)3, R2 = R3 = R4 = R5 = R7 = R10 = R11 = R13 = H, R6 = (CH2)2, R8 = (CH2)4, R9 = OH, R12 = Me, m = 0, X1 = X2 = Cl] was prepared and tested against methicillin-resistant Staphylococcus aureus (MRSA) and methicillin-sensitive S. aureus (MSSA) (MIC90 < 0.1 μg/mL) compared to (MIC90 = 2 μg/mL) for vancomycin.

MSTR 1



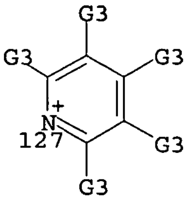


G3 = 172 / CF3 / Me

C(O)-G14
172

G5 = alkyl <containing 1-6 C> (opt. substd.)
G14 = 168

```
 G15—G5
168


G15     = 170


 N——G5
170


G21     = 127
```



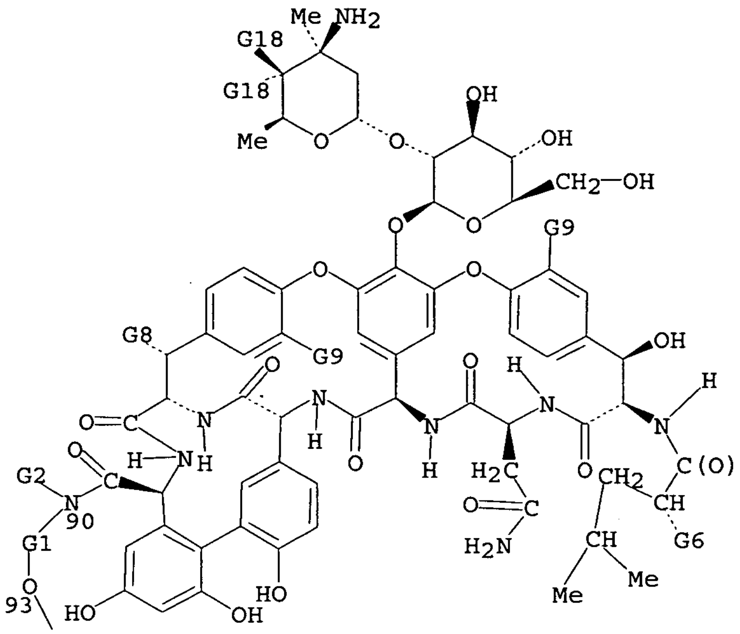
```
G31     = bond
Patent location:              claim 1
Note:                         or pharmaceutically acceptable salts

REFERENCE COUNT:          3     THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18  ANSWER 13 OF 27  MARPAT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         138:321580  MARPAT
TITLE:                    Preparation of cross-linked glycopeptide-cephalosporin
                          derivatives as antibiotics
INVENTOR(S):              Fatheree, Paul; Linsell, Martin S.; Long, Daniel D.;
                          Marquess, Daniel; Moran, Edmund J.; Nodwell, Matthew
                          B.; Turner, S. Derek; Aggen, James
PATENT ASSIGNEE(S):       Theravance, Inc., USA
SOURCE:                   PCT Int. Appl., 75 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
     ---------------   ----  --------      ---------------   --------
     WO 2003031449      A2   20030417      WO 2002-US32534   20021011
     WO 2003031449      A3   20030828
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2463544         AA   20030417      CA 2002-2463544   20021011
     US 2003130173      A1   20030710      US 2002-269471    20021011
     US 6974797          B2    20051213
     EP 1434779          A2    20040707        EP 2002-769054   20021011
     EP 1434779          B1    20051228
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
     BR 2002013154       A     20040810        BR 2002-13154    20021011
     CN 1568325          A     20050119        CN 2002-820237   20021011
     JP 2005507907       T2    20050324        JP 2003-534432   20021011
     AT 314376           E     20060115        AT 2002-769054   20021011
     CN 1781916          A     20060607        CN 2005-10129619 20021011
     ZA 2004002732       A     20050317        ZA 2004-2732     20040407
     NO 2004001912       A     20040510        NO 2004-1912     20040510
     US 2005239691       A1    20051027        US 2005-172303   20050630
PRIORITY APPLN. INFO.:                         US 2001-328889P  20011012
                                               CN 2002-820237   20021011
                                               US 2002-269471   20021011
                                               WO 2002-US32534  20021011
```

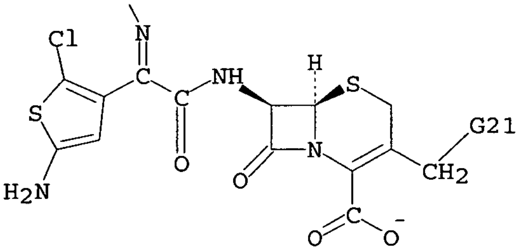
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

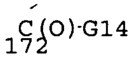
AB This invention provides cross-linked glycopeptide cephalosporin compds. I, wherein X1 and X2 are independently H, Cl; R1 is hetero-atom-containing linker; R2 is H, alkyl; R3 is independently alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclic; one of R4 and R5 is H the other is OH; R6 and R7 are independently H, Me; R8 is H, heterocycle; m is 0-3; and pharmaceutically acceptable salts thereof which are useful as antibiotics. This invention also provides pharmaceutical compns. containing such compds.; methods for treating bacterial infections in a mammal using such compds.; and processes and intermediates useful for preparing such compds. Thus, I (X1 = X2 = Cl, R1 = (CH2)3, R2 = R5 = R6 = R8 = H, R4 = OH, R7 = Me, m = 0) was prepared and tested in mice for its antibacterial activity (ED50 < 0.20 mg/Kg) compared to (ED50 = 9 mg/Kg) for vancomycin.

MSTR 1

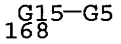




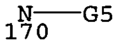
G3 = 172 / CF3 / Me



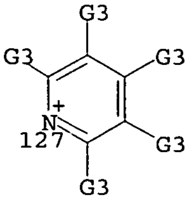
G5 = alkyl <containing 1-6 C> (opt. substd.)
G14 = 168



G15 = 170

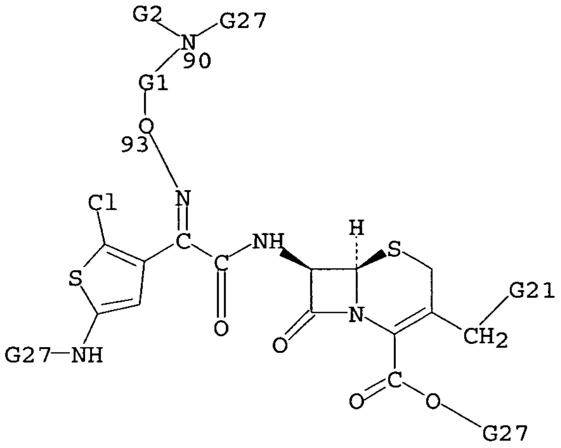


G21 = 127



Patent location: claim 1
Note: or pharmaceutically acceptable salts

MSTR 2



G3 = 172 / CF3 / Me

C(O)-G14
172

G5 = alkyl <containing 1-6 C> (opt. substd.)
G14 = 168

G15—G5
168

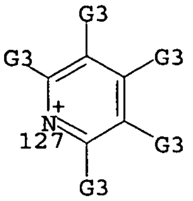
G15 = 170

N——G5
170

G21 = 245

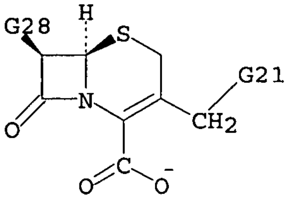
G28 ● G29
245

G28 = 127

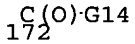


Patent location: claim 17
Note: or salts

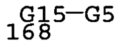
MSTR 6



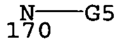
G3 = 172 / CF3 / Me



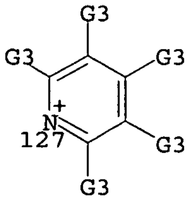
G5 = alkyl <containing 1-6 C> (opt. substd.)
G14 = 168



G15 = 170

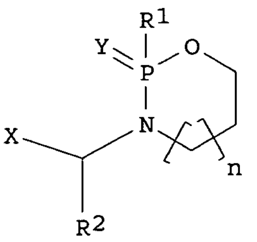


G21 = 127



Patent location: claim 23
Note: also incorporates claims 24 and 25
Note: or salts

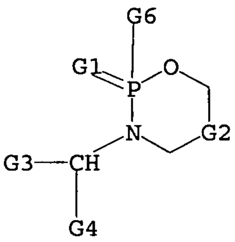
```
L18  ANSWER 14 OF 27  MARPAT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         136:118577  MARPAT
TITLE:                    Preparation of 1,3,2-oxazaphosphacycloalkane
                          derivatives as matrix metalloproteinase inhibitors
INVENTOR(S):              Sorensen, Morten Dahl; Blaehr, Lars Kristian Albert;
                          Christensen, Mette Knak
PATENT ASSIGNEE(S):       Leo Pharmaceutical Products Ltd. A/S (Lovens Kemiske
                          Fabrik Produktionsaktieselskab), Den.
SOURCE:                   PCT Int. Appl., 92 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
     WO 2002006293      A1   20020124      WO 2001-DK464    20010703
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2416015         AA   20020124      CA 2001-2416015  20010703
     EP 1303527         A1   20030423      EP 2001-949275   20010703
     EP 1303527         B1   20040929
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     BR 2001012558      A    20030722      BR 2001-12558    20010703
     JP 2004504326      T2   20040212      JP 2002-512195   20010703
     AT 277938          E    20041015      AT 2001-949275   20010703
     PT 1303527         T    20050131      PT 2001-949275   20010703
     ES 2228906         T3   20050416      ES 2001-1949275  20010703
     RU 2270835         C2   20060227      RU 2003-104804   20010703
     US 2002103166      A1   20020801      US 2001-899017   20010706
     US 6521606         B2   20030218
PRIORITY APPLN. INFO.:                     US 2000-219031P  20000718
                                           WO 2001-DK464    20010703
GI
```



I

AB The preparation of 1,3,2-oxazaphosphacycloalkane derivs. [I; wherein Y = O or S; n = 1, 2, 3 or 4; X = hydroxamic acid, carboxylic acid, phosphonic acid, acetylthiomethyl group or a mercaptomethyl group; R2 = H, (C1-8)alkyl, (C2-6)alkenyl, (C3-8)cycloalkyl, aryl(C0-6)alkyl or heteroaryl(C0-6)alkyl; R1 = optionally substituted alkoxyphenyl, phenoxyphenyl, phenylalkyl, naphthylalkyl, biphenyl, etc.] or a salt, hydrate or solvate thereof is described. Thus, 4-chlorophenylphosphoryl dichloride and N-(3-hydroxypropyl)glycine Et ester underwent a cyclization reaction to give Et 2-(4-chlorophenoxy)-2-oxo-1,3,2-oxazaphosphorinane-3-acetate (I; Y = O; X = CO2Et; R1 = 4-chlorophenoxy; R2 = H; n = 1). The compds. are useful in the treatment of arthritis, rheumatoid arthritis, osteoarthritis, osteopenias, osteoporosis, periodontitis, gingivitis, corneal epidermal or gastric ulceration, skin ageing, tumor metastasis, invasion or growth, multiple sclerosis, psoriasis, proliferative retinopathies, neovascular glaucoma, ocular tumors angiofibroma and hemangioma.

MSTR 1



G6 = 30

G15—G7 30

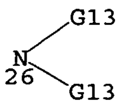
G7 = 19

G10—G11 19

G9 = CF3 / 21

C(O)-G12 21

G10 = alkylene <containing 1-6 C>
G11 = pyridyl (opt. substd. by 1 or more G9)
G12 = 26



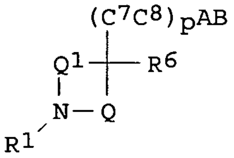
G13 = alkyl <containing 1-6 C>
Patent location: claim 1
Note: or salts, hydrates or solvates

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 15 OF 27 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:310818 MARPAT
TITLE: Preparation of 3-pyrrolidinyl 3-pyridyl ethers for selective control of neurotransmitter release
INVENTOR(S): Lin, Nan-horng; Li, Yihong; Drizin, Irene; Kincaid, John F.; Basha, Anwer; Dong, Liming; Hakeem, Ahmed A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp., Cont. of U. S. Ser. No. 661,710, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002151712	A1	20021017	US 2002-100764	20020319
PRIORITY APPLN. INFO.:			US 1999-153894P	19990914
			US 2000-661710	20000914

GI



I

AB Title compds. [I; R1 = H, alkyl, alkenyl, alkynyl, aryl, aralkyl, aryloxy, arylamino, biaryl, aroyl, heterocyclyl, cycloalkyl, etc.; R2-R8 = H, OH, amino, halo, alkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, CF3, NO2, cyano, etc.; A = CR2R3, O, S, NR1, SO2NR1, CO, CO2, etc.; B = heteroaryl, heteroarylalkyl; Q = (CR4R5)m; Q1 = (CR2R3)n; m, n = 1-6; m+n = 2-7; with provisos; and salts thereof] were prepared Thus, (S)-1-benzyl-3-pyrrolidinol was stirred with NaH in DMF; 3,5-dibromopyridine was added followed by stirring at 50° for 2 h to give 38% 3-(1-benzyl-3(S)-pyrrolidinyloxy)-5-bromopyridine. The latter was stirred with MeMgBr and [1,3-bis(diphenylphosphino)propane]nickel chloride in THF at 60° for 16 h to give 56% 3-(1-benzyl-3(S)-pyrrolidinyloxy)-5-methylpyridine. The latter was hydrogenated in EtOAc over Pd/C for 3 days to give 46% 3-methyl-5-[3(S)-pyrrolidinyloxy]pyridine. I bound to neural nicotinic acetylcholine receptors with Ki = 0.012-210 nM.

MSTR 1

```
G21—G22—G1——G24—G25
1   2   3   4


G1      = 10-2 11-4


 G2——G4
10   11


G2      = carbon chain <containing 1 or more C,
          0 or more double bonds, 0 or more triple bonds>
          (opt. substd. by 1 or more G6)
G3      = 59


 N———G18
59


G4      = 14-10 15-4


 G3——C(O)
14   15


G18     = carbon chain <containing 1-12 C,
          0 or more double bonds, 0 or more triple bonds>
          (opt. substd. by 1 or more G15)
G24     = bond
G25     = 3-pyridyl (opt. substd. by 1 or more G31)
G31     = carbon chain <containing 1-6 C,
          0 or more double bonds, 0 or more triple bonds>
          (opt. substd.) / CF3
Patent location:                claim 1
Note:                           and salts
Note:                           substitution is restricted


L18  ANSWER 16 OF 27  MARPAT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:          136:200011  MARPAT
TITLE:                     Preparation of O-substituted 4-(4-hydroxyphenyl)-1,1,1-
                           trifluoro-2-butanones as selective cPLA2 inhibitors
INVENTOR(S):               Banville, Jacques; Gai, Yonghua; Johnson, Graham;
                           Zusi, Fred Christopher; Burke, James R.
PATENT ASSIGNEE(S):        Bristol-Myers Squibb Company, USA
SOURCE:                    U.S., 112 pp., Cont.-in-part of U.S. 6,255,496.
                           CODEN: USXXAM
DOCUMENT TYPE:             Patent
LANGUAGE:                  English
FAMILY ACC. NUM. COUNT:    2
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
     ---------------   ----  --------      ---------------   --------
     US 6350892         B1   20020226      US 2000-507782    20000218
     ZA 9808687         A    20000323      ZA 1998-8687      19980922
     US 6255496         B1   20010703      US 1999-300111    19990427
PRIORITY APPLN. INFO.:                     US 1997-59597P    19970923
                                           US 1997-63518P    19971027
```

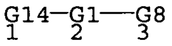
US 1998-151002 19980910
US 1999-300111 19990427

GI

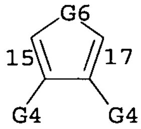
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R5 = alk(en/yn)yl, alkoxy, alkylthio, halo, hydroxy, etc.; p = 0-2; V1 = O, S0-2, NHC:O, C:ONH; R3-4 = H, Me; R1-2 = when taken together form an oxo group or R1-2 = H, OH; Y1 = O, S0-2, aza, etc.] were prepared E.g., 4-(3-hydroxypropyl)phenol was converted to Me [4-(3-methanesulfonyloxypropyl)phenoxy]acetate in 4 steps. This intermediate was reacted with N-methyl-2,2-[di(4-chlorophenyl)]ethylamine (CH3CN, NaI, 80°C, 18 h) to give the corresponding tertiary amine. The amine was treated with trifluoromethyltrimethylsilane (PhMe, -55°C) to give isolated acetal II. Hydrolysis of II (THF, HClaq) provided the example compound trifluoromethylketone isolated as the hydrochloride. Compds. I, presented in examples, showed IC50 of 1-50 μM against cPLA2.

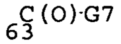
MSTR 2



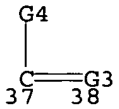
G1 = 15-1 17-3



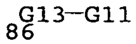
G3 = N
G4 = 63 / CF3



G6 = 37-15 38-17

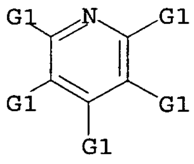


G7 = dialkylamino <each alkyl containing 1-6 C>
G8 = 86

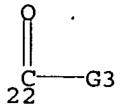


```
G13     = alkenylene <containing 2 or more C>
Derivative:                   or pharmaceutically acceptable salts, solvates or
                              prodrugs
Patent location:              disclosure
Note:                         substitution is restricted

REFERENCE COUNT:          6      THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
                                 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18  ANSWER 17 OF 27  MARPAT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         136:88439  MARPAT
TITLE:                    Nonaqueous electrolytic solution for secondary battery
INVENTOR(S):              Hiroaki, Itagaki; Chikara, Kiyohara
PATENT ASSIGNEE(S):       Mitsubishi Chemical Corporation, Japan
SOURCE:                   Eur. Pat. Appl., 16 pp.
                          CODEN: EPXXDW
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
     EP 1172878         A2   20020116      EP 2001-116675   20010716
     EP 1172878         A3   20050525
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2002093462      A2   20020329      JP 2001-205661   20010706
     US 2002025477      A1   20020228      US 2001-903750   20010713
     US 6767671         B2   20040727
PRIORITY APPLN. INFO.:                     JP 2000-213624   20000714
AB   A nonaq. electrolytic solution (containing at least an organic solvent and a
lithium
     salt further containing a particular pyridine compound) is capable of
depressing
     deterioration of battery properties in a high temperature environment.  A
     secondary battery is also provided.
```

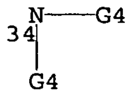
MSTR 1



```
G1      = 22  / alkyl <containing 1-4 C> (substd. by CN)  /
              CF3
```



```
G3      = 34
```

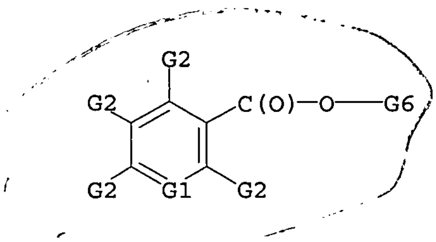


```
G4      = alkyl <containing 1-7 C>
Patent location:            claim 1
Note:                       substitution is restricted


L18  ANSWER 18 OF 27  MARPAT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:          131:307106  MARPAT
TITLE:                     Use of vitamin PP compounds as cytoprotective agents
                           in chemotherapy
INVENTOR(S):               Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel,
                           Benno; Reiter, Friedemann; Schein, Barbara;
                           Schemainda, Isabel; Seibel, Klaus; Vogt, Klaus;
                           Wosikowski, Katja
PATENT ASSIGNEE(S):        Klinge Pharma GmbH, Germany
SOURCE:                    PCT Int. Appl., 145 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:             Patent
LANGUAGE:                  English
FAMILY ACC. NUM. COUNT:    1
PATENT INFORMATION:

     PATENT NO.       KIND  DATE          APPLICATION NO.  DATE
     ---------------  ----  --------      ---------------  --------
     WO 9953920        A1   19991028      WO 1999-EP2686   19990421
         W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     DE 19818044       A1   19991028      DE 1998-19818044 19980422
     EP 1031564        A1   20000830      EP 1999-103814   19990226
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     AU 9939282        A1   19991108      AU 1999-39282    19990421
     EP 1079832        A1   20010307      EP 1999-922119   19990421
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
     JP 2002512190     T2   20020423      JP 2000-544324   19990421
     AT 311186         E    20051215      AT 1999-922119   19990421
     WO 2000050399     A1   20000831      WO 2000-EP1628   20000228
         W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1154998        A1   20011121      EP 2000-907642   20000228
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2002537380     T2   20021105      JP 2000-600982   20000228
     US 2002160968     A1   20021031      US 2001-935772   20010823
```

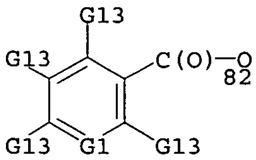
```
    US 6506572          B2    20030114
PRIORITY APPLN. INFO.:                    DE 1998-19818044 19980422
                                          EP 1999-103814   19990226
                                          WO 1999-EP2686   19990421
                                          WO 2000-EP1628   20000228
```

AB The invention relates to the use of vitamin PP compds. and/or compds. with anti-pellagra activity such as for example nicotinic acid (niacin), and nicotinamide (niacin-amide, vitamin PP, vitamin B3) for the reduction, elimination or prevention of side-effects of different degrees as well as for neutralization of acute side-effects in immunosuppressive or cancerostatic chemotherapy or diagnosis, especially with substituted pyridine carboxamides, as well as combination medicaments with an amount of compds. with vitamin B3 and/or anti-pellagra activity and chemotherapeutic agents are especially considered in the mentioned chemotherapies and indications. Nicotinamide at 500 mg/kg twice daily protected mice treated i.p. with antitumor N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide. There were no deaths in the nicotinamide-treated mice and the strong reduction of leukocytes was completely prevented.

MSTR 4



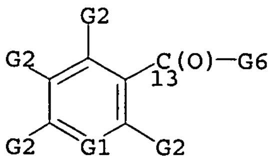
G1 = N
G6 = alkyl <containing 3-10 C>
(substd. by 2 or more G12)
G12 = cycloalkyl <containing 3-10 C>
(opt. substd. by (1-5) 82)



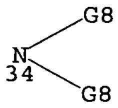
G13 = alkyl <containing 1-6 C> (opt. substd. by OH) /
CF3 / dialkylaminocarbonyl <each alkyl containing 1-11 C>

Patent location: claim 4
Note: substitution is restricted

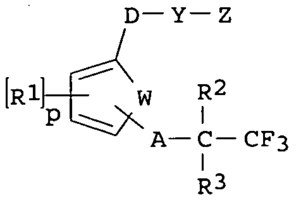
MSTR 5



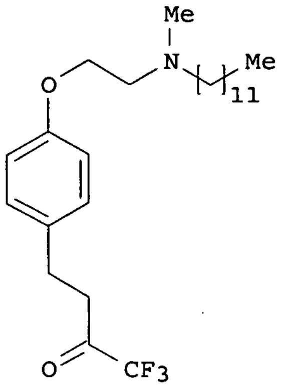
```
G1      = N
G2      = alkyl <containing 1-6 C> (opt. substd. by OH)  /
          CF3
G6      = 34
```



```
G8      = alkyl <containing 1-6 C> (opt. substd. by OH)
Patent location:             claim 4
Note:                        substitution is restricted

REFERENCE COUNT:          3      THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
                                 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18  ANSWER 19 OF 27  MARPAT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         130:267208  MARPAT
TITLE:                    Preparation of O-substituted 4-(4-hydroxyphenyl)-1,1,1-
                          trifluoro-2-butanones as selective cPLA2 inhibitors
INVENTOR(S):              Banville, Jacques; Gai, Yonghua; Johnson, Graham;
                          Zusi, Fred Christopher; Burke, James R.
PATENT ASSIGNEE(S):       Bristol-Myers Squibb Company, USA
SOURCE:                   PCT Int. Appl., 257 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
     WO 9915129         A2   19990401      WO 1998-US19426  19980917
         W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP,
             KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO,
             NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA,
             UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2304503         AA   19990401      CA 1998-2304503  19980917
     AU 9893954         A1   19990412      AU 1998-93954    19980917
     AU 730364          B2   20010308
     EP 1140791         A2   20011010      EP 1998-947093   19980917
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
     ZA 9808687         A    20000323      ZA 1998-8687     19980922
PRIORITY APPLN. INFO.:                     US 1997-59597P   19970923
                                           US 1997-63518P   19971027
                                           WO 1998-US19426  19980917

GI
```



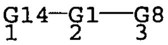
I



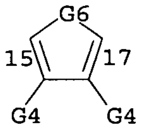
II

AB The title compds. [I; W = CH:CH, CH:N, O, S; R1 = alkyl, alkenyl, alkynyl, etc.; p =0=2; a = V(R4)n; R4 = alkyl; n = 0-6; R2 and R3 when taken together form an oxo group; R2, R3 = H, OH; V = O, S, SO, etc.; D = (CH2)m, a bond; m = 1-6; Y = O, S, SO, etc.; Z = (CH2)qC(R5)(R6)BNR7R8; B = C(:X), OC(:X), SO2, etc.; X = S, O; q = 1-6; R5, R6 = H, C1-18 alkyl; R7, R8 = H, (un)substituted C1-18 alkyl, etc.], selective inhibitors of the cPLA2 enzymes which are of use in controlling a wide variety of inflammatory diseases, were prepared Thus, a 4-step synthesis of the title compound II, starting with iodododecane and 2-(methylamino)ethanol, was given. Compds. I, presented in examples, showed IC50 of 1-50 µM against cPLA2.

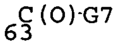
MSTR 1


$\underset{1}{G14}—\underset{2}{G1}—\underset{3}{G8}$

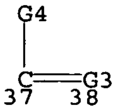
G1 = 15-1 17-3



G3 = N
G4 = 63 / CF3


$\underset{63}{C}(O)\text{-}G7$

G6 = 37-15 38-17



G7 = dialkylamino <each alkyl containing 1-6 C>
G8 = 86

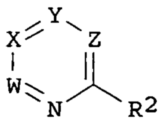
$G13{-}G11$
86

G13 = alkenylene <containing 2 or more C>
Derivative: or pharmaceutically acceptable salts, solvates or prodrugs
Patent location: claim 1
Note: substitution is restricted

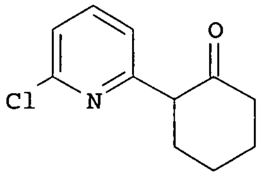
L18 ANSWER 20 OF 27 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 127:5014 MARPAT
TITLE: Synthesis of substituted N-heteroaromatic compounds by combinatorial chemistry
INVENTOR(S): Smith, Robert L.; Kumaravel, Gnanasambandam; Kuhla, Donald E.
PATENT ASSIGNEE(S): Versicor, Inc., USA; Smith, Robert, L.; Kumaravel, Gnanasambandam; Kuhla, Donald E.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

```
     PATENT NO.       KIND  DATE          APPLICATION NO.  DATE
     ---------------  ----  --------      ---------------  --------
     WO 9715557        A1   19970501      WO 1996-US17177  19961025
         W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK,
             EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI
     US 5886186        A    19990323      US 1995-548009   19951025
     AU 9675225        A1   19970515      AU 1996-75225    19961025
PRIORITY APPLN. INFO.:                    US 1995-548009   19951025
                                          WO 1996-US17177  19961025
```

OTHER SOURCE(S): CASREACT 127:5014
GI



I



II

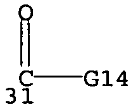
AB N-heteroarom. compds. I (W, X, Y, Z = bond, CR1; R1, R2 = H, halo, alkyl, alkenyl alkynyl, alkoxy, amino, acyl, CN, sulfhydryl, alkylthio, aryl, OH,

carbamoyl, NO2, CF3, carbocycle), i.e. libraries of substituted N-heteroarom. compds., were prepared using polymer-supported reagents and featuring the reaction of O-linked heteroarom. N-oxides with nucleophiles to produce the substituted N-heteroarom. compds. Thus, II was prepd from 2-chloropyridine-N-oxide and N-(cyclohexen-1-yl)-morpholine using the acid chloride resin formed from the acyl chlorination of polyacrylic acid with SO2Cl2.

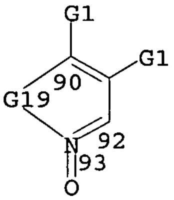
MSTR 2

G3—G2

G1 = alkynyl / 31



G2 = CF3
G3 = 92



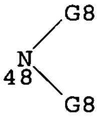
G8 = 23

23 G11—G12

G11 = alkylene <containing 1-8 C, unbranched>
G14 = 34 / 36 / 48

34 G11—G12

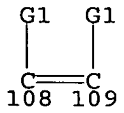
36 G16—G15



G15 = 38

38 G11—G12

G19 = 108-90 109-93



Patent location: claim 12

Note: additional ring formation and substitution also claimed

L18 ANSWER 21 OF 27 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 126:199573 MARPAT

TITLE: Heterocyclylcarboxamide derivatives for use as neurotransmitter agonists

INVENTOR(S): Birch, Alan Martin; Heal, David John; Kerrigan, Frank; Martin, Keith Frank; Needham, Patricia Lesley; Sargent, Bruce Jeremy

PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

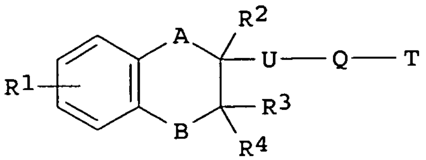
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

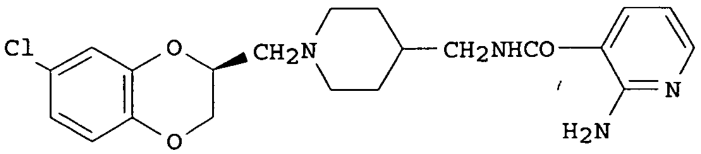
PATENT INFORMATION:

```
     PATENT NO.       KIND  DATE          APPLICATION NO.  DATE
     ---------------  ----  --------      ---------------  --------
     WO 9703071       A1    19970130      WO 1996-EP2890   19960702
         W:  AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LV, MX, NO, NZ, PL,
             RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
     CA 2223472       AA    19970130      CA 1996-2223472  19960702
     AU 9665172       A1    19970210      AU 1996-65172    19960702
     AU 708890        B2    19990812
     EP 839145        A1    19980506      EP 1996-924847   19960702
     EP 839145        B1    20031105
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             SI, LV, FI
     CN 1190967       A     19980819      CN 1996-195477   19960702
     CN 1071755       B     20010926
     BR 9609506       A     19990601      BR 1996-9506     19960702
     JP 11508599      T2    19990727      JP 1996-505471   19960702
     RU 2169147       C2    20010620      RU 1998-102441   19960702
     IL 122540        A1    20011031      IL 1996-122540   19960702
     AT 253573        E     20031115      AT 1996-924847   19960702
     ZA 9605921       A     19980112      ZA 1996-5921     19960712
     TW 454006        B     20010911      TW 1996-85115692 19961219
     US 5935973       A     19990810      US 1998-981671   19980105
     NO 9800129       A     19980112      NO 1998-129      19980112
PRIORITY APPLN. INFO.:                    GB 1995-14380    19950713
                                          WO 1996-EP2890   19960702
```

GI



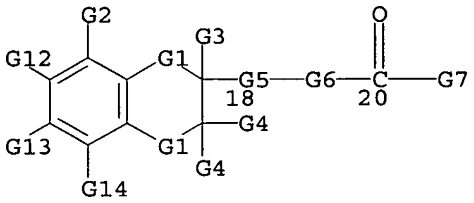
I



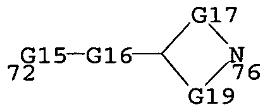
II

AB Title compds. I [A, B = CH2, O; R1 = optional substituent(s); R2-R4 = H, (un)substituted alkyl; U = (un)branched alkylene; Q = N-containing divalent group; T = heterocyclylcarbonyl attached to N in Q] were prepared for use in treating central nervous system disorders. Thus, the benzodioxane II was prepared from 5-chloro-2-hydroxybenzaldehyde, (R)-glycidyl tosylate, and 4-aminomethylpiperidine in 8 steps. II had a Ki for 5·HT1α receptor binding of 41.5 nM and also bound to the α2D, D2, and α1 receptors.

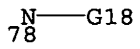
MSTR 1



G6 = 72-18 76-20



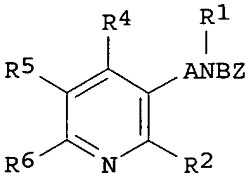
G7 = pyridyl (opt. substd. by 1 or more G21)
G15 = 78



G16 = alkylene <containing 1-3 C>
(opt. substd. by 1 or more alkyl <containing 1-3 C>)
G17 = bond
G18 = alkyl <containing 1-3 C>

```
G21    = Me  / CF3
Derivative:                 and pharmaceutically acceptable salts
Patent location:            claim 1
Note:                       substitution is restricted


L18  ANSWER 22 OF 27  MARPAT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        126:7996  MARPAT
TITLE:                   Preparation of substituted pyridine-derivative
                         acetylcholine receptor modulators
INVENTOR(S):             Cosford, Nicholas D.; Vernier, Jean-Michel
PATENT ASSIGNEE(S):      Sibia Neurosciences, Inc., USA
SOURCE:                  PCT Int. Appl., 100 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.       KIND  DATE          APPLICATION NO.  DATE
     ---------------  ----  --------      ---------------  --------
     WO 9631475        A2   19961010      WO 1996-US5078   19960404
     WO 9631475        A3   19970206
         W:  AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
             ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT,
             LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
     US 5585388        A    19961217      US 1995-419597   19950407
     US 5686473        A    19971111      US 1995-480405   19950607
     US 5736560        A    19980407      US 1995-488122   19950607
     US 5852041        A    19981222      US 1995-486324   19950607
     CA 2217651        AA   19961010      CA 1996-2217651  19960404
     AU 9656636        A1   19961023      AU 1996-56636    19960404
     AU 718517         B2   20000413
     EP 871615         A1   19981021      EP 1996-913789   19960404
     EP 871615         B1   20040714
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
     JP 11503430       T2   19990326      JP 1996-530548   19960404
     AT 271040         E    20040715      AT 1996-913789   19960404
     ES 2224167        T3   20050301      ES 1996-913789   19960404
     US 6194581        B1   20010227      US 1998-218214   19981222
PRIORITY APPLN. INFO.:                    US 1995-419597   19950407
                                          US 1995-486324   19950607
                                          WO 1996-US5078   19960404
```

GI



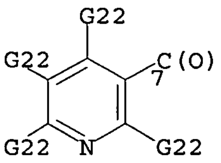
I

AB The title compds. [I; A, B = (un)substituted (un)branched bridging group; R1 = H, lower alkyl; R2, R4-R6 = H, (un)substituted alkyl, (un)substituted cycloalkyl, alkenyl, alkynyl, (un)substituted aryl, heterocyclyl, etc.; Z = H, R2, absent in the formation of a heterocyclic ring], which displace acetylcholine receptor ligands from their binding sites, and are useful for a variety of therapeutic applications, such as the treatment of Alzheimer's disease and other disorders involving memory loss and/or dementia (including AIDS dementia), are prepared Thus, I (A = CH2, BR1 = CH2CH2CH2CH2 heterocycle with the N to which both groups are attached, R2 = R4 = R6 = H, R5 = Ph, Z = absent) was prepared and demonstrated a IC50 of 0.50 μM against 3H-nicotine and 11.2 μM for quinuclidinyl benzilate in rat cerebral membrane-derived acetylcholine receptors.

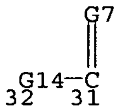
MSTR 4

G2—G19

G2 = 7



G9 = 32-9 31-11



G11 = alkyl <containing 1-4 C>
G14 = alkylene <containing 1-3 C>
G19 = 9 / 140

G21—G9—G15 G21—G39—G40
9 11 140 142

G21 = 46

N—G11
46

G22 = CF3 / Me
Patent location: claim 52
Note: also incorporates claim 53

L18 ANSWER 23 OF 27 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 126:74868 MARPAT
TITLE: Preparation of 4-(halodifluoromethyl)pyrimidine-5-

carboxamide derivative as herbicides

INVENTOR(S): Sato, Jun; Kondo, Yasuo; Kudo, Yoshihiro; Mikashima, Takumi; Nawamaki, Tsutomu; Ito, Yoichi; Sudo, Kazuhisa; Nakahira, Kunimitsu

PATENT ASSIGNEE(S): Nissan Chemical Ind Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

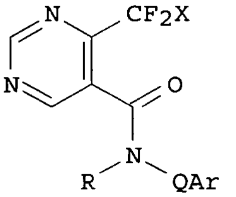
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 08291168	A2	19961105	JP 1995-98454	19950424
PRIORITY APPLN. INFO.:				JP 1995-98454	19950424

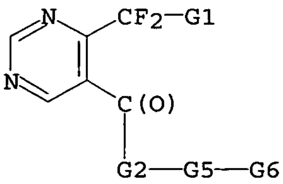
GI



I

AB The title compds. [I; X = Cl, Br; R = H, C1-8 (halo)alkyl, C3-8 alkenyl, alkynyl, or (halo)cycloalkyl, C3-8 cycloalkyl-C1-4 alkyl; Q = (un)branched and (un)saturated C1-6 carbon chain; Ar = (un)substituted Ph, pyridyl, pyrimidinyl, thiazolyl, pyrazolyl, isoxazolyl, thiophenyl, or furanyl], optical isomers, diastereomers, or geometrical isomers thereof are prepared Thus, a mixture of 1.5 g 4-chlorodifluoromethyl-5-pyridinecarboxylic acid, 3 mL SOCl2, and 30 mL benzene was refluxed for 6 h, filtered, distilled to remove the solvent, and condensed with 1.2 g N-(4-chlorobenzyl)-1,1-dimethylethylamine in the presence of Et3N and 4-dimethylaminopyridine in THF to give I (X = Cl, R = tert-Bu, Q = CH2, Ar = p-ClC6H4). The latter compound at 10/are preemergence in flooded soil controlled ≥90% Echinochloa crus-galli, Scirpus juncoides, Monochoria vaginalis, and Rotala indica, 40-70% Sagittaria pygmaea, and 0% Cyperus serotinus and gave no damage to rice seedlings.

MSTR 1

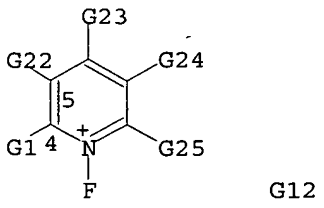


G5 = carbon chain <containing 1-6 C>
G6 = pyridyl (opt. substd. by 1 or more G7)
G7 = CF3 / dialkylaminocarbonyl <each alkyl containing

```
        1-4 C>
Patent location:            claim 1


L18  ANSWER 24 OF 27  MARPAT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:          122:60171  MARPAT
TITLE:                     Method, apparatus, and material for generating
                           electric energy
INVENTOR(S):               Umemoto, Teruo; Izumiya, Naoaki; Takahasi, Ikuko
PATENT ASSIGNEE(S):        Daikin Industries, Ltd., Japan
SOURCE:                    PCT Int. Appl., 104 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:             Patent
LANGUAGE:                  Japanese
FAMILY ACC. NUM. COUNT:    1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
     WO 9424712         A1   19941027      WO 1994-JP656    19940420
         W:  CA, CN, KR, RU, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
     JP 07006756        A2   19950110      JP 1993-351211   19931229
     JP 3760474         B2   20060329
     CA 2138766         AA   19941027      CA 1994-2138766  19940420
     EP 646979          A1   19950405      EP 1994-913788   19940420
     EP 646979          B1   19981111
         R:  DE, FR, GB, IT
     CN 1107646         A    19950830      CN 1994-190221   19940420
     RU 2125753         C1   19990127      RU 1994-46451    19940420
     JP 07296820        A2   19951110      JP 1994-282496   19941022
PRIORITY APPLN. INFO.:                     JP 1993-95997    19930422
                                           JP 1993-351211   19931229
                                           WO 1994-JP656    19940420
```

AB Energy, especially elec. energy, is generated by the electrochem. reaction between a compound having N-F bonding with an electron donor compound The 2 compds. can be made in direct contact with each other. The apparatus provides energy for outside uses by combining the 2 compds., and is preferably a battery. The N-F bond containing compound contains N, C, F, and may be other atoms, may be an ionic compound, and is preferably used as cathode active mass in batteries.

MSTR 1A

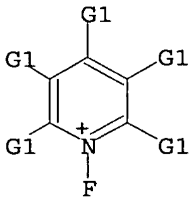


G1 = alkyl <containing 1-15 C>
(opt. substd. by 1 or more G2)
G7 = dialkylamino <each alkyl containing 1-5 C>
(opt. substd. by aryl <containing 6-10 C>)
G22 = 265

$\underset{265}{\mathrm{C}}(\mathrm{O})\text{-}G7$

G25 = CF3
Patent location: disclosure
Note: additional ring formation allowed

MSTR 2



G1 = alkyl <containing 1-15 C>
(opt. substd. by 1 or more G2) / 16 / CF3

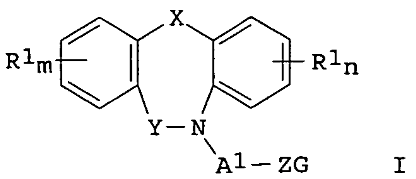
$\underset{16}{\mathrm{C}}(\mathrm{O})\text{-}G7$

G7 = dialkylamino <each alkyl containing 1-5 C>
(opt. substd. by aryl <containing 6-10 C>)
Patent location: disclosure
Note: additional ring formation allowed

L18 ANSWER 25 OF 27 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 119:139278 MARPAT
TITLE: Tricyclic heterocycles as prostaglandin E2 antagonists and process for their preparation
INVENTOR(S): Oldfield, John; Tucker, Howard
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

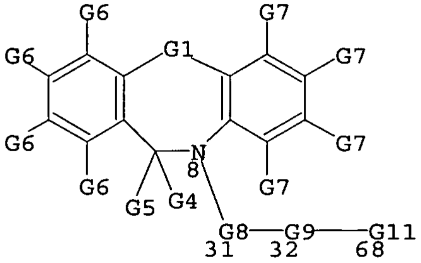
```
     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
     ---------------   ----  --------      ---------------   --------
     EP 534667          A1   19930331      EP 1992-308428    19920916
     EP 534667          B1   19970205
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
     ZA 9206783         A    19930329      ZA 1992-6783      19920907
     AU 9222179         A1   19930401      AU 1992-22179     19920907
     CA 2078401         AA   19930328      CA 1992-2078401   19920916
     AT 148700          E    19970215      AT 1992-308428    19920916
     NO 9203736         A    19930329      NO 1992-3736      19920925
     JP 05230055        A2   19930907      JP 1992-256335    19920925
     US 5317101         A    19940531      US 1992-950706    19920925
PRIORITY APPLN. INFO.:                     GB 1991-20640     19910927
```

GI

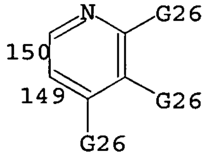


AB The title compds. I [A1 = C1-4 alkylene, C3-4 alkenylene, C3-4 alkynylene; G = CO2H, 1H-tetrazol-5-yl, CONHSO2R2; R2 = C1-4 alkyl, (un)substituted Ph, (un)substituted PhCH2; R1 = H, halogen, CF3, NO2, CN, OH, NH3, etc.; X = O, S, SO, SO2, (C1-4 alkyl)imino, CH2; Y = CO, CH2 optionally substituted with 1-2 C1-4 alkyl groups; Z = 5- or 6-membered heteroaryl group containing ≤2 O, N, or S atoms; m, n = 1, 2] are prepared by coupling the NH group-containing heterocycle with Z1A1ZG (Z1 = displaceable group). I are useful as nonsteroidal antiinflammatory drugs (no data). Thus, 8-trifluoromethyl-10,11-dihydrobenzo[gf][1,4]oxazepine was condensed with Me 5-bromomethylthiophene-2-carboxylate and hydrolyzed, producing 5-(8-trifluoromethyl-10,11-dihydrodibenzo[gf][1,4]oxazepin-10-ylmethyl)thiophene-2-carboxylic acid. I-containing pharmaceutical formulations are presented.

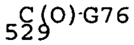
MSTR 1A



G8 = alkylene <containing 1-4 C>
G9 = 150-31 149-68

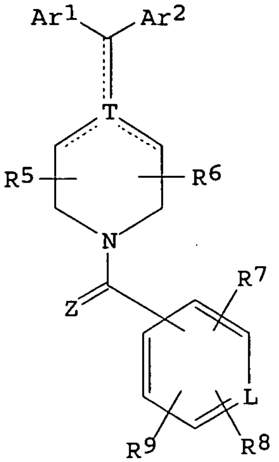


G11 = 529

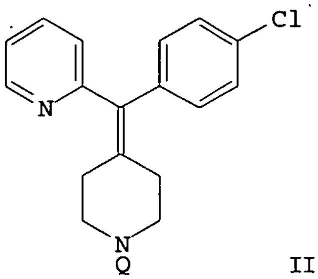


G26 = CF3
G76 = dialkylamino <each alkyl containing 1-6 C>
Derivative: or pharmaceutically acceptable salts

```
Patent location:            claim 1


L18  ANSWER 26 OF 27  MARPAT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         117:111641  MARPAT
TITLE:                    Preparation of 4-(diarylmethylene)piperidines and
                          N-(diarylmethyl)piperazines as allergy inhibitors and
                          antiinflammatories
INVENTOR(S):              Piwinski, John J.; Wong, Jesse; Green, Michael J.;
                          Seidl, Vera; Friary, Richard
PATENT ASSIGNEE(S):       Schering Corp., USA
SOURCE:                   PCT Int. Appl., 76 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
     WO 9206971        A1    19920430      WO 1991-US7169   19911008
         W:  AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO,
             PL, RO, SD, SU, US
         RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN,
             GR, IT, LU, ML, MR, NL, SE, SN, TD, TG
     CA 2093798        AA    19920411      CA 1991-2093798  19911008
     CA 2093798        C     20000201
     AU 9188490        A1    19920520      AU 1991-88490    19911008
     AU 646519         B2    19940224
     ZA 9108044        A     19920729      ZA 1991-8044     19911008
     EP 553191         A1    19930804      EP 1991-918503   19911008
     EP 553191         B1    19950517
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
     JP 05508660       T2    19931202      JP 1991-517935   19911008
     JP 08009596       B4    19960131
     HU 66081          A2    19940928      HU 1993-1051     19911008
     ES 2072627        T3    19950716      ES 1991-918503   19911008
     IL 99676          A1    19951127      IL 1991-99676    19911008
     CZ 280532         B6    19960214      CZ 1993-622      19911008
     US 5432175        A     19950711      US 1993-30454    19930401
     NO 9301312        A     19930610      NO 1993-1312     19930406
     NO 305028         B1    19990322
     KR 9705927        B1    19970422      KR 1993-71070    19930409
PRIORITY APPLN. INFO.:                     US 1990-595330   19901010
                                           WO 1991-US7169   19911008
GI
```

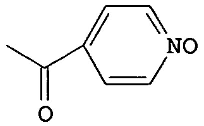


I



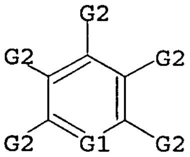
II

Q1=



AB Title compds. [I; Ar1, Ar2 = (benzanellated) (substituted) (hetero)aryl; R5, R6 = H, aryl, (substituted) alkyl; geminal R5R6 = O, S; R7-R9 = H, halo, CF3, OR11, COR11, SR11, SOnR12, N(R11)2, NO2, cyano, CO2R11, OCO2R12, O2CR11, CON(R11)2, aryl, alkynyl, (substituted) alkyl, alkenyl, etc.; n = 1, 2; R11 = H, alkyl, aryl; R12 = alkyl, aryl; T = CH, C, N; Z = O, S, (H, H), (H, alkyl); L = N, NO; dotted lines = optional double bonds], were prepared Thus, piperidine derivative II (Q = H) (preparation given), isonicotinic acid N-oxide, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, and 1-hydroxybenzotriazole in CH2Cl2 were stirred at 0°-room temperature to give 97% II (Q = Q1) (III). III at 3 mg/kg orally in guinea pigs gave 100% inhibition of platelet-activating factor (PAF)-induced bronchospasm, and 0% inhibition of histamine-induced bronchospasm. I showed varying combinations of PAF and histamine antagonist activity. Tablets and capsules were prepared containing III.

MSTR 3



G1 = N
G2 = CF3 / 22 / 28 / alkyl <containing 1-20 C>
(opt. substd. by G8)

22 C(O)·G5   28 G6—G7

G4 = alkyl <containing 1-20 C>
G5 = 24

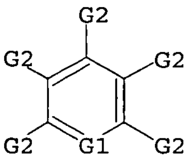
G6—G4
24

G6 = 26

N—G4
26

Patent location: claim 12

MSTR 4



G1 = N
G2 = CF3 / 22 / 28 / alkyl <containing 1-20 C>
(opt. substd. by G8)

C(O)-G5
22

G6—G7
28

G4 = alkyl <containing 1-20 C>
G5 = 24

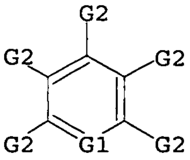
G6—G4
24

G6 = 26

N—G4
26

Patent location: claim 12

MSTR 6



G1 = N
G2 = CF3 / 22 / 28 / alkyl <containing 1-20 C>
(opt. substd. by G8)

22 C(O)·G5   28 G6—G7

G4 = alkyl <containing 1-20 C>
G5 = 24

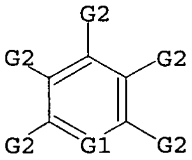
24 G6—G4

G6 = 26

26 N—G4

Patent location: claim 12

MSTR 7



G1 = N
G2 = CF3 / 22 / 28 / alkyl <containing 1-20 C>
(opt. substd. by G8)

22 C(O)·G5   28 G6—G7

G4 = alkyl <containing 1-20 C>
G5 = 24

24 G6—G4

G6 = 26

26 N—G4

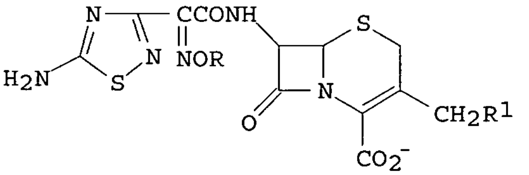
Patent location: claim 12

L18 ANSWER 27 OF 27 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	97:55577 MARPAT
TITLE:	Cephalosporin derivatives, pharmaceutical compositions containing them and their use
INVENTOR(S):	Mueller, Beat; Csendes, Ivan
PATENT ASSIGNEE(S):	Ciba-Geigy A.-G. , Switz.
SOURCE:	Eur. Pat. Appl., 104 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 47977	A2	19820324	EP 1981-107100	19810909
EP 47977	A3	19821013		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DD 201906	A5	19830817	DD 1981-233133	19810908
FI 8102810	A	19820313	FI 1981-2810	19810909
DK 8104058	A	19820313	DK 1981-4058	19810911
NO 8103109	A	19820315	NO 1981-3109	19810911
AU 8175171	A1	19820318	AU 1981-75171	19810911
ZA 8106321	A	19820929	ZA 1981-6321	19810911
ES 505409	A1	19830201	ES 1981-505409	19810911
HU 26663	O	19830928	HU 1981-2638	19810911
HU 185656	B	19850328		
JP 57081493	A2	19820521	JP 1981-143192	19810912
ES 515426	A1	19831201	ES 1982-515426	19820901
PRIORITY APPLN. INFO.:			CH 1980-6881	19800912
			CH 1981-2964	19810507

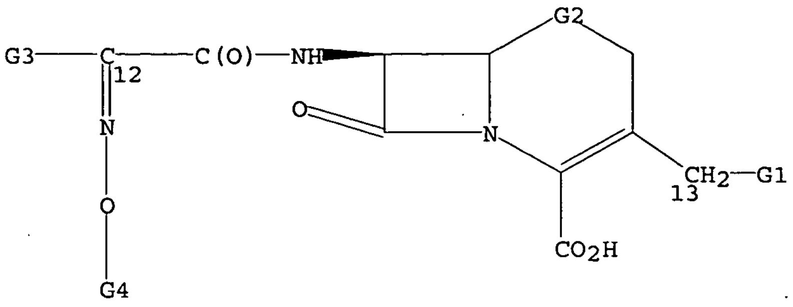
GI



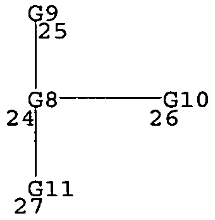
I

AB Cephalosporins I [R = H, (un)substituted alkyl, cycloalkyl, carbamoyl; R1 = quaternary ammonium] were prepared Thus I (R = Me, R1 = 4-carboxypyridinio)(II) was obtained by treating the Na salt of I (R = Me, R1 = OAc) with isonicotinic acid. II had a min. inhibitory concentration against Escherichia coli 205 of 0.05 µg/mL.

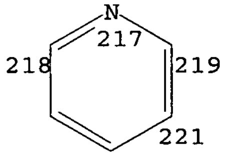
MSTR 1



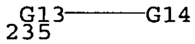
```
G1      = 24
```



```
G8      = 217-13 218-26 219-25 221-27
```



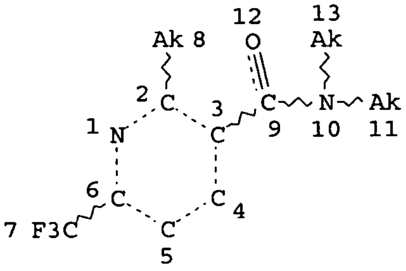
```
G9      = 235
```



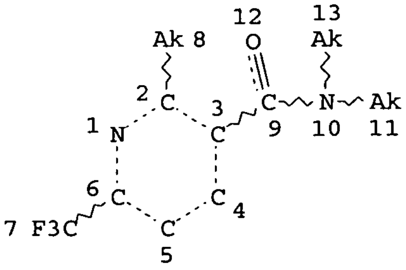
```
G10     = CF3
G11     = dialkylaminocarbonyl <each alkyl containing 1-6 C>
G13     = alkylene <containing 1-6 C>
Patent location:            claims
Note:                       record may include structures from disclosure


FILE 'HOME' ENTERED AT 12:48:52 ON 29 JUN 2006

=>
```

This Page Blank (uspto)

```
=> d stat que l7; d stat que l16; d his nofile
L5                STR
```

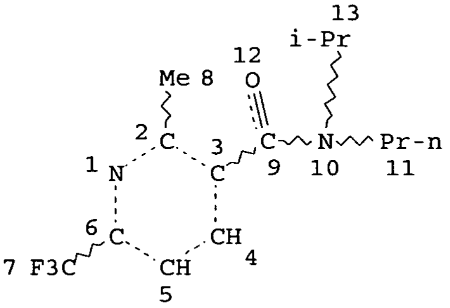


```
NODE ATTRIBUTES:
CONNECT IS E1   RC AT    8
CONNECT IS E1   RC AT   11
CONNECT IS E1   RC AT   13
DEFAULT MLEVEL IS ATOM
MLEVEL   IS CLASS   AT    8  11  13
GGCAT    IS LOC    AT    8
GGCAT    IS LOC    AT   11
GGCAT    IS LOC    AT   13
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  13

STEREO ATTRIBUTES: NONE
L7             22 SEA FILE=REGISTRY SSS FUL L5

100.0% PROCESSED    2224 ITERATIONS                          22 ANSWERS
SEARCH TIME: 00.00.01



L5                STR
```



```
NODE ATTRIBUTES:
CONNECT IS E1   RC AT    8
CONNECT IS E1   RC AT   11
CONNECT IS E1   RC AT   13
DEFAULT MLEVEL IS ATOM
MLEVEL   IS CLASS   AT    8  11  13
GGCAT    IS LOC    AT    8
GGCAT    IS LOC    AT   11
GGCAT    IS LOC    AT   13
```

```
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  13

STEREO ATTRIBUTES: NONE
L11          248 SEA FILE=MARPAT SSS FUL L5
L14              STR
```



```
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL  IS CLASS  AT   8 11 13
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  13

STEREO ATTRIBUTES: NONE
L16            24 SEA FILE=MARPAT SUB=L11 SSS FUL L14

100.0% PROCESSED     248 ITERATIONS                          24 ANSWERS
SEARCH TIME: 00.00.03




     (FILE 'HOME' ENTERED AT 12:31:47 ON 29 JUN 2006)

     FILE 'CAPLUS' ENTERED AT 12:32:14 ON 29 JUN 2006
                SET LINE 250
                SET DETAIL OFF
                E US2003-678771/AP,PRN 25
                SET NOTICE 1000 SEARCH
L1            1 SEA ABB=ON  US2003-678771/AP
                SET NOTICE LOGIN SEARCH
                SET LINE LOGIN
                SET DETAIL LOGIN
                D SCAN
                SEL RN

     FILE 'REGISTRY' ENTERED AT 12:32:57 ON 29 JUN 2006
L2          466 SEA ABB=ON  (100-60-7/BI OR 1003-03-8/BI OR 103754-74-1/BI OR
                104-79-0/BI OR 104290-44-0/BI OR 104678-18-4/BI OR 107-10-8/BI
                OR 107-85-7/BI OR 107099-99-0/BI OR 108-91-8/BI OR 109-73-9/BI
                OR 109-85-3/BI OR 109-89-7/BI OR 109365-65-3/BI OR 110-68-9/BI
                OR 110-76-9/BI OR 110-96-3/BI OR 111-26-2/BI OR 111-92-2/BI OR
                112006-61-8/BI OR 122775-35-3/BI OR 123-83-1/BI OR 124-40-3/BI
                OR 124397-96-2/BI OR 13074-39-0/BI OR 133730-34-4/BI OR
                135579-86-1/BI OR 13952-84-6/BI OR 142-25-6/BI OR 142-84-7/BI
                OR 149104-90-5/BI OR 150255-96-2/BI OR 15673-00-4/BI OR
                16419-60-6/BI OR 165253-31-6/BI OR 16728-59-9/BI OR 1692-15-5/B
                I OR 171860-66-5/BI OR 172975-69-8/BI OR 175204-90-7/BI OR
                1765-93-1/BI OR 17768-41-1/BI OR 182163-96-8/BI OR 18542-42-2/B
                I OR 19961-27-4/BI OR 20193-20-8/BI OR 20193-21-9/BI OR
                204841-19-0/BI OR 20826-04-4/BI OR 21968-17-2/BI OR 223761-28-2
                /BI OR 2439-57-8/BI OR 244205-40-1/BI OR 2450-71-7/BI OR
                2516-34-9/BI OR 2516-47-4/BI OR 253315-22-9/BI OR 25419-06-1/BI
                 OR 261635-93-2/BI OR 3010-04-6/BI OR 30418-59-8/BI OR
                30529-70-5/BI OR 308796-09-0/BI OR 312624-28-5/BI OR 312693-02-
                0/BI OR 312693-13-3/BI OR 312693-14-4/BI OR 321533-80-6/BI OR
                3218-02-8/BI OR 3222-47-7/BI OR 3222-49-9/BI OR 3222-56-8/BI
                OR 342029-20-3/BI OR 342417-08-7/BI OR 343338-26-1/BI OR
                35161-71-8/BI OR 37143-54-7/BI OR 39099-23-5/BI OR 4104-44-3/BI
                 OR 4138-26-5/BI OR 4543-96-8/BI OR 4747-21-1/BI OR 4795-29-3/B
                I OR 50385-87-0/BI OR 5332-73-0/BI OR 540-61-4/BI OR 5400-88-4/
                BI OR 5452-35-7/BI OR 5459-93-8/BI OR 54864-96-9/BI OR
                55499-43-9/BI OR 55552-70-0/BI OR 556-53-6/BI OR 557-66-4/BI
                OR 55769-00-1/BI OR 5616-32-0/BI OR 5720-06-9/BI OR 5720-07-0/B
                I OR 57366-77-5/BI OR 5813-64-9/BI OR 59-26-7/BI OR 59-67-6/BI
                OR 594-39-8/BI OR 6011-14-9/BI OR 6053-81-2/BI OR 616-24-0/BI
                OR 6165-68-0/BI OR 625-43-4/BI OR 6311-35-9/BI OR 6321-23-9/BI
                OR 63493-28-7/BI OR 63503-60-6/BI OR 646455-72-3/BI OR
                651292-63-6/BI OR 667897-61-2/BI OR 67492-50-6/BI OR 676532-70-
                0/BI OR 676532-71-1/BI OR 676532-72-2/BI OR 676532-73-3/BI OR
                676532-74-4/BI OR 676532-75
L3          221 SEA ABB=ON  L2 AND F/ELS AND N/ELS
L4           57 SEA ABB=ON  L3 AND 1/NC
                D SCAN

     FILE 'STNGUIDE' ENTERED AT 12:35:08 ON 29 JUN 2006

     FILE 'REGISTRY' ENTERED AT 12:37:00 ON 29 JUN 2006
L5              STR
L6            1 SEA SSS SAM L5
                D SCAN
L7           22 SEA SSS FUL L5
                SAVE TEMP L7 GEM771FULL/A

     FILE 'CAPLUS' ENTERED AT 12:40:29 ON 29 JUN 2006
L8            2 SEA ABB=ON  L7

     FILE 'REGISTRY' ENTERED AT 12:40:40 ON 29 JUN 2006
L9              ANALYZE L7 1- LC :       5 TERMS
                D

     FILE 'MARPAT' ENTERED AT 12:41:08 ON 29 JUN 2006
L10          14 SEA SSS SAM L5
L11         248 SEA SSS FUL L5
                SAVE TEMP L11 GEM771MARPF/A
L12             STR L5
L13           9 SEA SUB=L11 SSS SAM L12
L14             STR L12
L15           1 SEA SUB=L11 SSS SAM L14
                D SCAN
L16          24 SEA SUB=L11 SSS FUL L14
```

```
               SAVE TEMP GEM771MARPS/A L16

     FILE 'STNGUIDE' ENTERED AT 12:46:26 ON 29 JUN 2006

     FILE 'REGISTRY' ENTERED AT 12:46:47 ON 29 JUN 2006
               D STAT QUE L7

     FILE 'CAPLUS, USPATFULL, TOXCENTER, CHEMCATS' ENTERED AT 12:46:47 ON 29
     JUN 2006
L17          8 SEA ABB=ON  L7

     FILE 'MARPAT' ENTERED AT 12:47:07 ON 29 JUN 2006
               D STAT QUE L16

     FILE 'CAPLUS, USPATFULL, TOXCENTER, CHEMCATS, MARPAT' ENTERED AT 12:47:11
     ON 29 JUN 2006
L18         27 DUP REM L17 L16 (5 DUPLICATES REMOVED)
                    ANSWERS '1-2' FROM FILE CAPLUS
                    ANSWERS '3-4' FROM FILE CHEMCATS
                    ANSWERS '5-27' FROM FILE MARPAT
               D IBIB ED ABS HITSTR 1-2
               D IALL 3-4
               D IBIB ABS QHIT 5-27

     FILE 'HOME' ENTERED AT 12:48:52 ON 29 JUN 2006
               D STAT QUE L7
               D STAT QUE L16

=>
```